

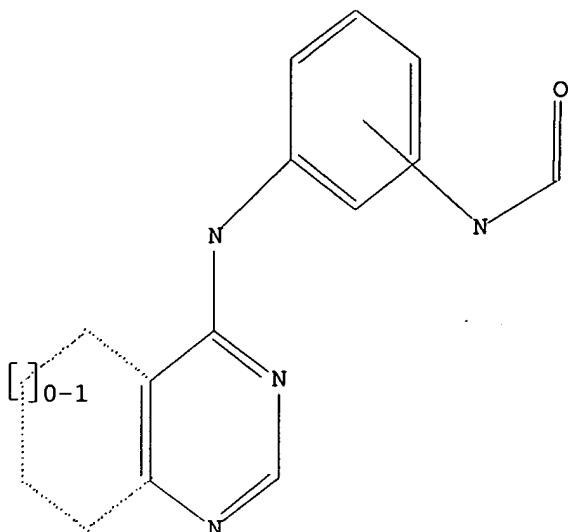
L Number	Hits	Search Text	DB	Time stamp
1	2514	((544/117) or (544/118) or (544/258) or (544/262) or (544/277) or (544/278) or (544/279) or (544/280)).CCLS.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/01/26 11:05
2	757	((514/234.2) or (514/260.1) or (514/262.1) or (514/263.4) or (514/264.11)).CCLS.	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/01/26 11:06
3	2900	((544/117) or (544/118) or (544/258) or (544/262) or (544/277) or (544/278) or (544/279) or (544/280)).CCLS.) or ((514/234.2) or (514/260.1) or (514/262.1) or (514/263.4) or (514/264.11)).CCLS.)	USPAT; US-PGPUB; EPO; JPO; DERWENT	2003/01/26 11:06

09/937,018 (G = N)

=>
Uploading 09937018 (g=n sub).str

L4 STRUCTURE UPLOADED

=> d 14
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14 sub=l3 sss sam
SAMPLE SUBSET SEARCH INITIATED 11:48:04 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 34 TO ITERATE

100.0% PROCESSED 34 ITERATIONS 31 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 331 TO 1029
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 286 TO 954

L5 31 SEA SUB=L3 SSS SAM L4

=> s 14 sub=l3 sss ful
FULL SUBSET SEARCH INITIATED 11:48:12 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 738 TO ITERATE

100.0% PROCESSED 738 ITERATIONS 654 ANSWERS
SEARCH TIME: 00.00.01

L6 654 SEA SUB=L3 SSS FUL L4

=> s 13 not 16
L7 84 L3 NOT L6

(G1 = C removed).

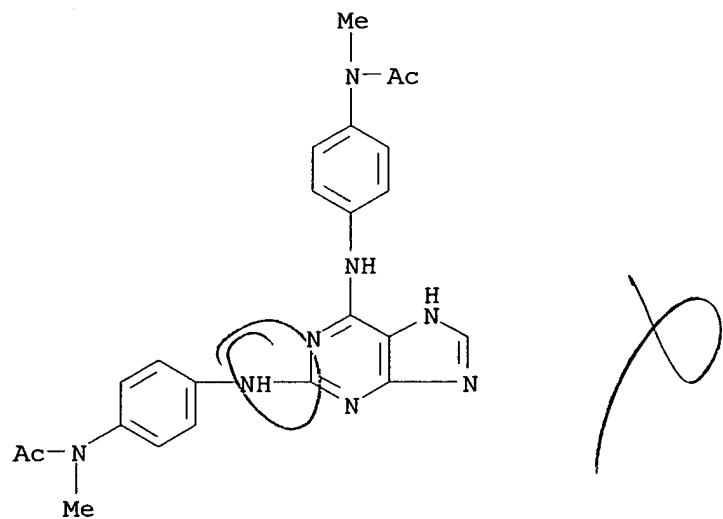
09/937,018 (G = N)

=> s 17
L8 21 L7
=> d 18 1-21 bib,ab,hitstr

L8 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 2001:101141 CAPLUS
 DN 134:163051
 TI Preparation of anilinopurine derivatives as inhibitors of tyrosine protein kinase syk
 IN Collingwood, Stephen Paul; Hayler, Judy; Le Grand, Darren Mark; Mattes, Henri; Menear, Keith Allan; Walker, Clive Victor; Cockcroft, Xiao-ling
 PA Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft M.B.H.
 SO PCT Int. Appl., 70 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

wkt. prior art.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001009134	A1	20010208	WO 2000-EP7311	20000728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012888	A	20020409	BR 2000-12888	20000728
EP 1200435	A1	20020502	EP 2000-953112	20000728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002000467	A	20020320	NO 2002-467	20020129
PRAI GB 1999-18035	A	19990730		
WO 2000-EP7311	W	20000728		
OS MARPAT 134:163051				
AB	The title compds. (I) [wherein X = O, S, or NR5; R1 = (un)substituted (cyclo)alkyl, alkenyl, benzocycloalkyl, cycloalkylalkyl, or aralkyl; R2, R3, and R4 = independently H, halo, (halo)alkyl, alkoxy, carboxy, alkoxy carbonyl(alkyl), carboxyalkyl, or (un)substituted amino, sulfamoyl(alkyl), or carbamoyl; or two of R2, R3, and R4 form a carbocyclic or heterocyclic ring together with the C atoms to which they are attached; R5 = H or alkyl] in free or salt form were prepd. for use as pharmaceuticals, particularly for the treatment of inflammatory or obstructive airways disease. For example, cyclopropylamine and N,N-diisopropylethylamine were added to 2,6-dichloropurine in n-BuOH to give 6-cyclopropylamino-2-chloropurine. The chloropurine was stirred with 4-morpholinoaniline in the presence of N,N-diisopropylethylamine in NMP at 130.degree.C for 48 h to give II, which inhibited phosphorylation by syk kinase with an IC50 of 9 nM.			
IT 325166-61-8P	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (target compd.; prepn. of anilinopurine tyrosine protein kinase syk inhibitors by addn. of anilines and amines, alcs., or thiols to dichloropurines)			
RN 325166-61-8 CAPLUS				
CN Acetamide, N,N'-(1H-purine-2,6-diylbis(imino-4,1-phenylene)]bis[N-methyl-(9CI) (CA INDEX NAME)				



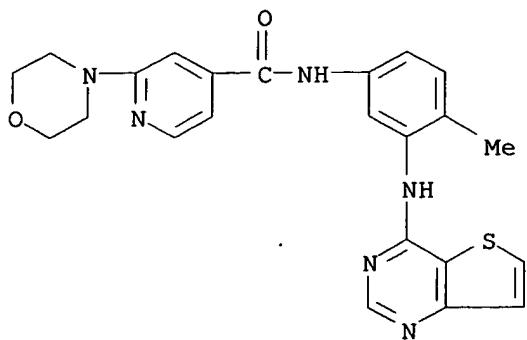
RE.CNT 14

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 2000:688241 CAPLUS
 DN 133:252455
 TI Preparation of pyridine and pyrimidine derivatives as inhibitors of cytokine mediated disease
 IN Cumming, John Graham
 PA Astrazeneca Ab, Swed.
 SO PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

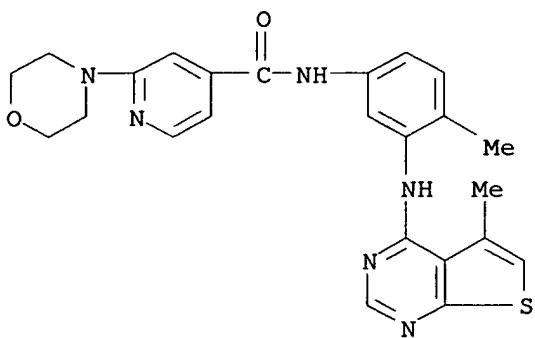
Apr. 2000
 QCS

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000056738	A1	20000928	WO 2000-GB1006	20000317
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000009223	A	20011226	BR 2000-9223	20000317
	EP 1165566	A1	20020102	EP 2000-912750	20000317
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002540112	T2	20021126	JP 2000-606599	20000317
	NO 2001004589	A	20011121	NO 2001-4589	20010921
PRAI	GB 1999-6566	A	19990323		
	WO 2000-GB1006	W	20000317		
OS	MARPAT	133:252455			
AB	The title compds. [I; G = N, CH, C(CN); ring X = a 5-6 membered fused heteroaryl ring which contains 1-3 heteroatoms selected from O, S and N; m = 0-2; R1 = OH, halo, CF ₃ , etc.; R2, R3 = H, halo, alkyl, etc.; R4 = H, OH, alkyl, etc.; R5 = H, halo, CF ₃ , etc.; q = 0-4], useful in the treatment of diseases or medical conditions mediated by cytokines, were prep'd. and formulated. E.g., a multi-step synthesis of thieno[3,2-d]pyrimidine II which showed IC ₅₀ of 0.06 against p38.alpha., was given.				
IT	295776-70-4P 295776-71-5P 295776-72-6P 295776-73-7P 295776-74-8P 295776-75-9P 295776-76-0P 295776-77-1P 295776-78-2P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep'n. of pyridine and pyrimidine derivs. as inhibitors of cytokine mediated disease)				
RN	295776-70-4	CAPLUS			
CN	4-Pyridinecarboxamide, N-[4-methyl-3-(thieno[3,2-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)				



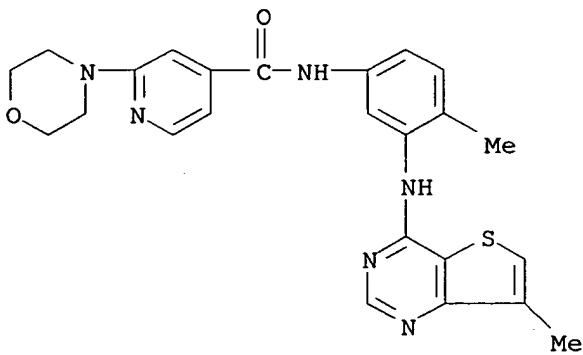
RN 295776-71-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[(5-methylthieno[2,3-d]pyrimidin-4-yl)amino]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



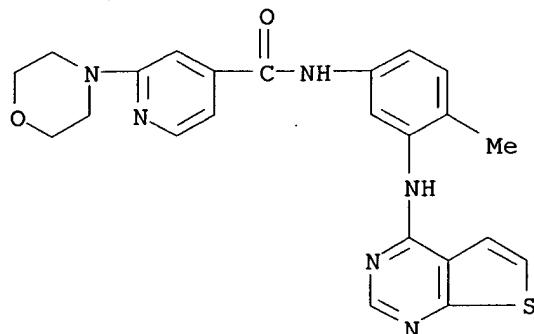
RN 295776-72-6 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[(7-methylthieno[3,2-d]pyrimidin-4-yl)amino]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



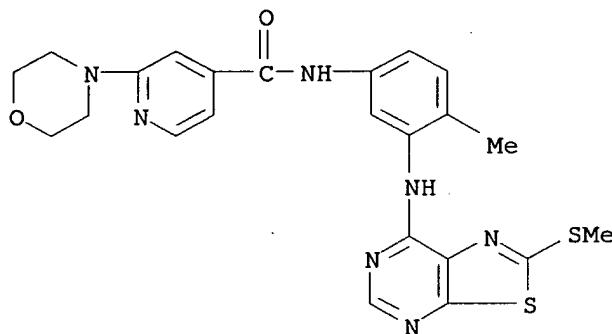
RN 295776-73-7 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(thieno[2,3-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



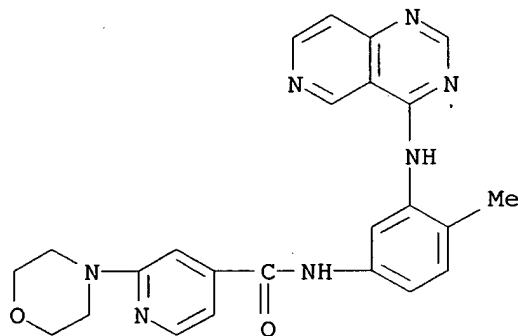
RN 295776-74-8 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-[(2-(methylthio)thiazolo[5,4-d]pyrimidin-7-yl)amino]phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



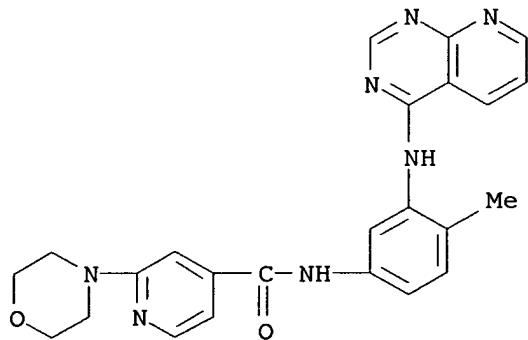
RN 295776-75-9 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(pyrido[4,3-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



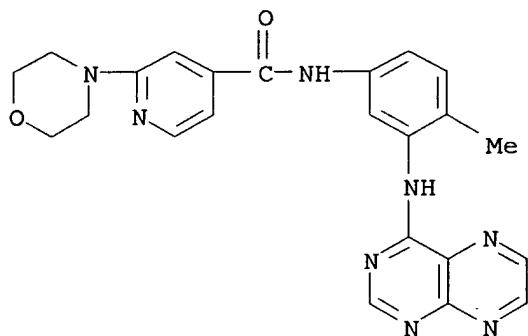
RN 295776-76-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(pyrido[2,3-d]pyrimidin-4-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



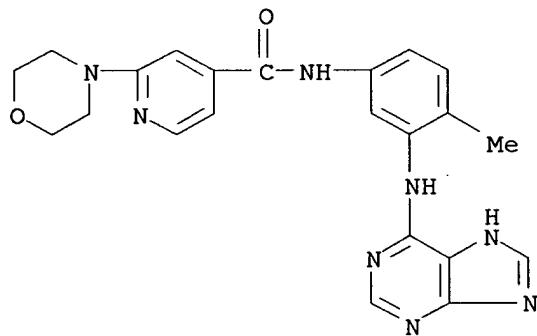
RN 295776-77-1 CAPLUS

CN 4-Pyridinecarboxamide, N-[4-methyl-3-(4-pteridinylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 295776-78-2 CAPLUS

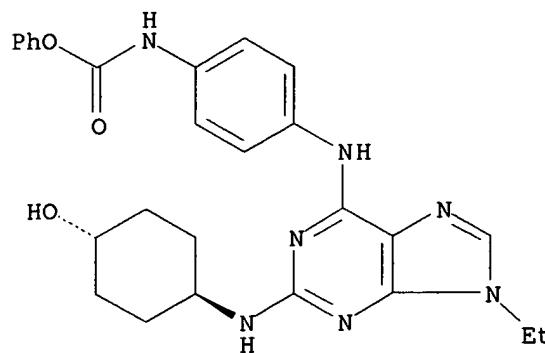
CN 4-Pyridinecarboxamide, N-[4-methyl-3-(1H-purin-6-ylamino)phenyl]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 2000:592718 CAPLUS
 DN 133:193164
 TI Preparation of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin
 Bcdc13 kinase and protein tyrosine kinase pp60c-src.
 IN Imbach, Patricia; Capraro, Hans-Georg; Zimmermann, Jurg; Caravatti,
 Giorgio; Furet, Pascal; Brill, Wolfgang Karl-Diether
 PA Novartis A.-G., Switz.; Novartis-Erfindungen
 SO PCT Int. Appl., 100 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

not print

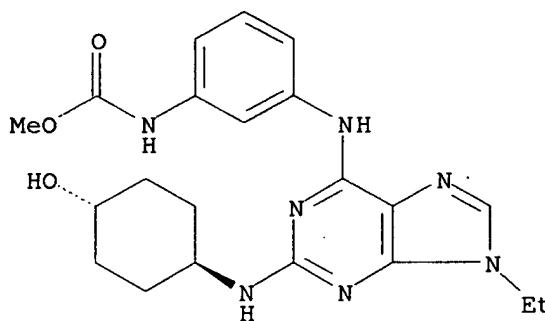
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000049018	A1	20000824	WO 2000-EP1271	20000216
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	BR 2000008365	A	20011113	BR 2000-8365	20000216
	EP 1153024	A1	20011114	EP 2000-916840	20000216
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002537300	T2	20021105	JP 2000-599757	20000216
	US 2002016329	A1	20020207	US 2001-927322	20010810
PRAI	GB 1999-3762	A	19990218		
	WO 2000-EP1271	W	20000216		
OS	MARPAT 133:193164				
AB	Title compds. [I; q = 1-5; R1 = SONR6R7, SO2NR6R7, aralkylcarbamoyl, etc.; R2 = H, carbamoyl, alkylcarbamoyl; R3 = (substituted) aliphatic; R5 amino, OH, PhO, alkoxy, acyl, substituted aliphatic, carbocyclyl, heterocyclyl, etc.; R4 = H, R5; R4R5, R6R7 = (substituted) alkylene, alkenylene optionally interrupted by O, S, N; R6, R7 = H, aliphatic, carbocyclyl, heterocyclyl, etc.; with provisos], were prep'd. Thus, 6-(4-butylaminosulfonylphenylamino)-2-chloro-9-ethyl-9H-purine, diglyme and cis-2-aminocyclohexanecarboxamide were heated at 160.degree. in a sealed tube to give 32% cis-2-[6-(4-butylaminosulfonylphenylamino)-9-ethyl- 9H-purin-2-yl-amino]cyclohexanecarboxylic acid amide. I at 0.001-10 .mu.M inhibited protein tyrosine kinase pp60c-src.				
IT	289479-82-9P	289479-83-0P	289479-85-2P		
	289479-86-3P	289479-87-4P	289479-88-5P		
	289479-89-6P	289479-90-9P	289479-91-0P		
	289479-92-1P	289479-93-2P	289479-94-3P		
	289479-95-4P	289479-96-5P	289479-97-6P		
	289479-98-7P	289479-99-8P	289480-00-8P		
	289480-01-9P	289480-02-0P	289480-03-1P		
	289480-04-2P	289480-05-3P	289480-06-4P		
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	289480-10-0P	289480-11-1P	289480-12-2P		
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				



RN 289479-86-3 CAPLUS

CN Carbamic acid, [3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

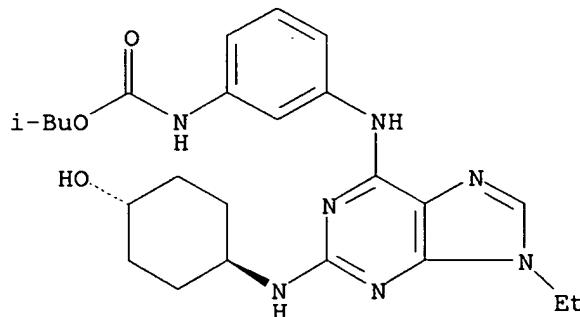
Relative stereochemistry.



RN 289479-87-4 CAPLUS

CN Carbamic acid, [3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 289479-88-5 CAPLUS

CN Carbamic acid, [3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)

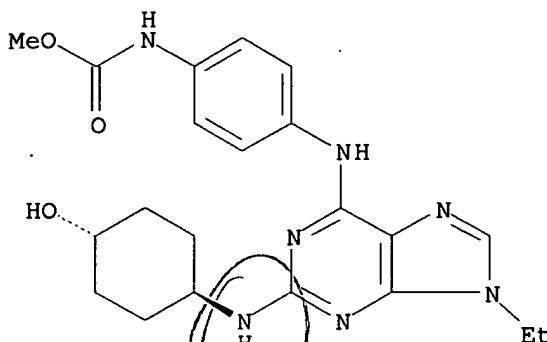
Relative stereochemistry.

(prepn. of 2-amino-6-anilinopurines as inhibitors of p34cdc2/cyclin Bcdc13 kinase and protein tyrosine kinase pp60c-src)

RN 289479-82-9 CAPLUS

CN Carbamic acid, [4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

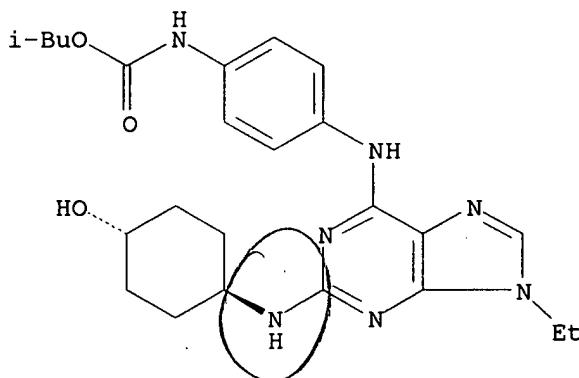
Relative stereochemistry.



RN 289479-83-0 CAPLUS

CN Carbamic acid, [4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

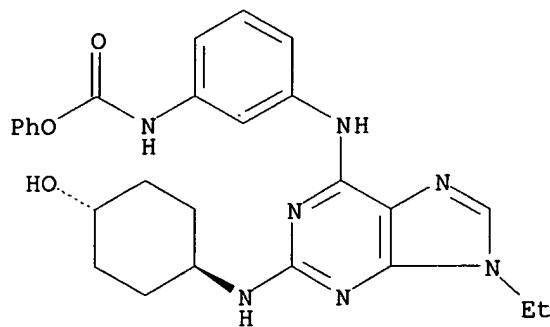
Relative stereochemistry.



RN 289479-85-2 CAPLUS

CN Carbamic acid, [4-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-, phenyl ester (9CI) (CA INDEX NAME)

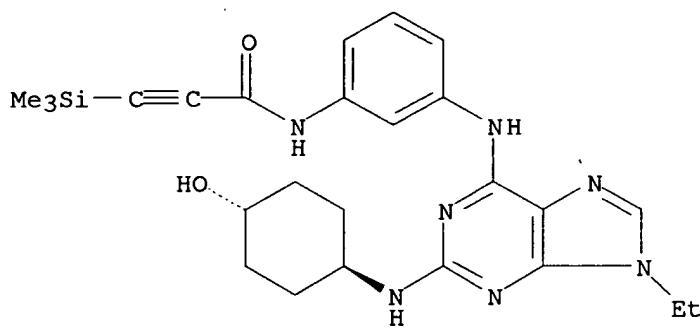
Relative stereochemistry.



RN 289479-89-6 CAPLUS

CN 2-Propynamide, N-[3-[(9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(trimethylsilyl)- (9CI) (CA INDEX NAME)

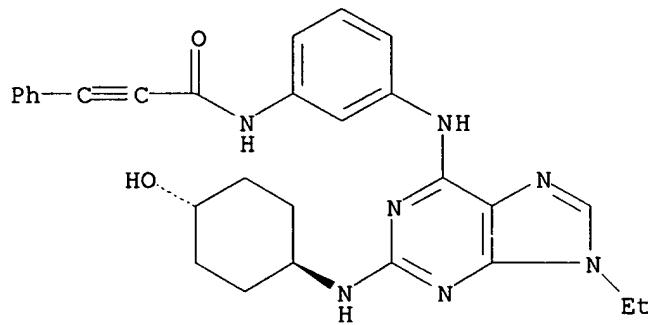
Relative stereochemistry.



RN 289479-90-9 CAPLUS

CN 2-Propynamide, N-[3-[(9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-phenyl- (9CI) (CA INDEX NAME)

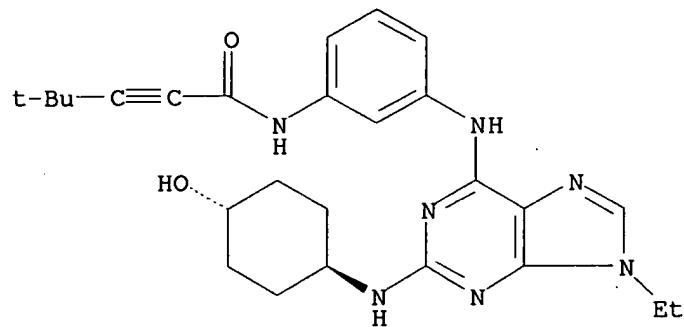
Relative stereochemistry.



RN 289479-91-0 CAPLUS

CN 2-Pentynamide, N-[3-[(9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)

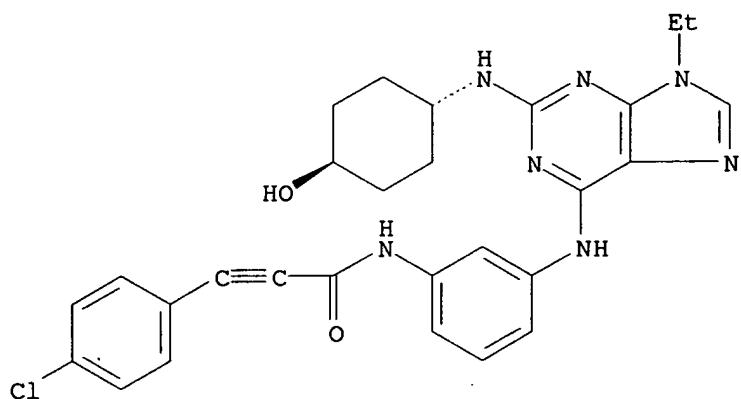
Relative stereochemistry.



RN 289479-92-1 CAPLUS

CN 2-Propynamide, 3-[(4-chlorophenyl)-N-[3-[(9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl)amino]phenyl]- (9CI) (CA INDEX NAME)

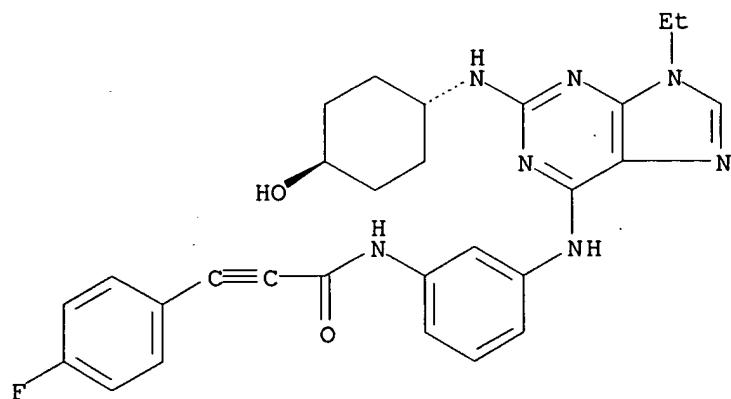
Relative stereochemistry.



RN 289479-93-2 CAPLUS

CN 2-Propynamide, N-[3-[(9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl)amino]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

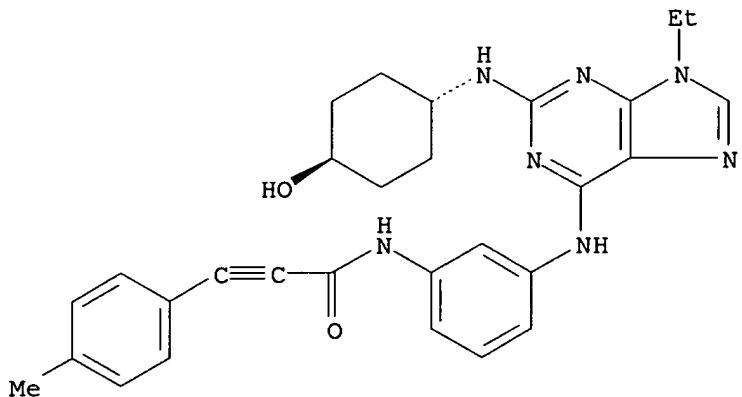
Relative stereochemistry.



RN 289479-94-3 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

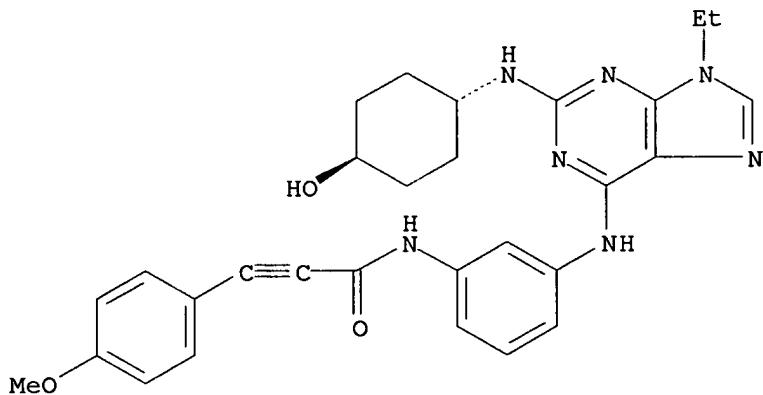
Relative stereochemistry.



RN 289479-95-4 CAPLUS

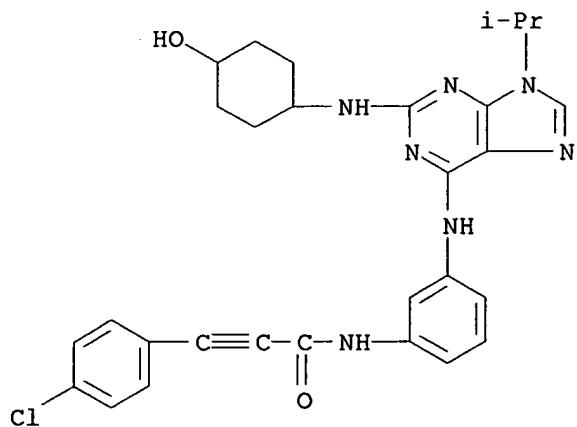
CN 2-Propynamide, N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



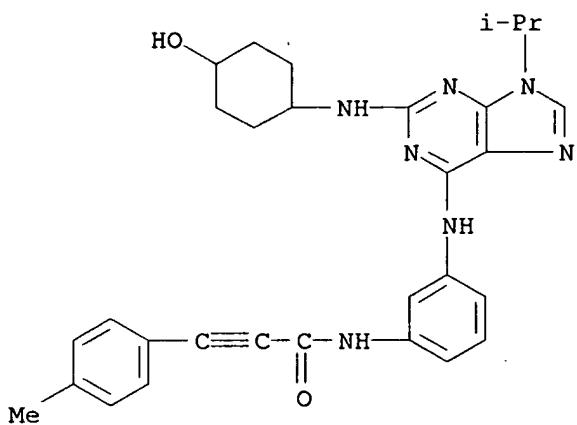
RN 289479-96-5 CAPLUS

CN 2-Propynamide, 3-(4-chlorophenyl)-N-[3-[[2-[(4-hydroxycyclohexyl)amino]-1-methylethyl]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



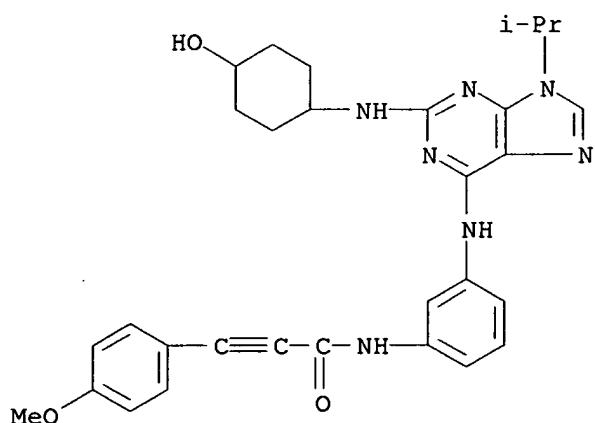
RN 289479-97-6 CAPLUS

CN 2-Propynamide, N-[3-[(2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



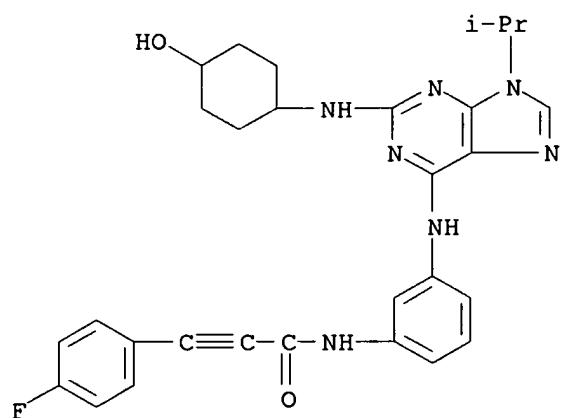
RN 289479-98-7 CAPLUS

CN 2-Propynamide, N-[3-[(2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



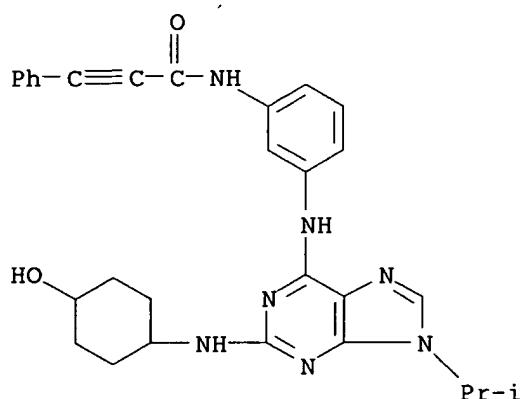
RN 289479-99-8 CAPLUS

CN 2-Propynamide, 3-[(4-fluorophenyl)amino]-9-[(1-methylethyl)amino]phenyl- (9CI) (CA INDEX NAME)



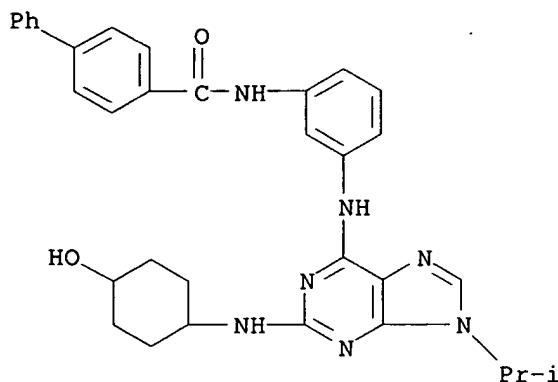
RN 289480-00-8 CAPLUS

CN 2-Propynamide, N-[3-[(2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl)amino]phenyl]-3-phenyl- (9CI) (CA INDEX NAME)



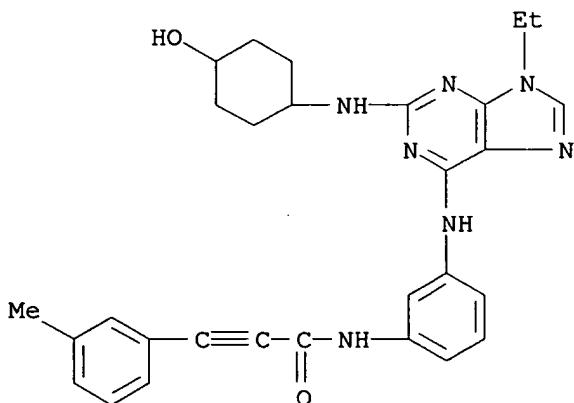
RN 289480-01-9 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[[2-[(4-hydroxycyclohexyl)amino]-9-(1-methylethyl)-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)



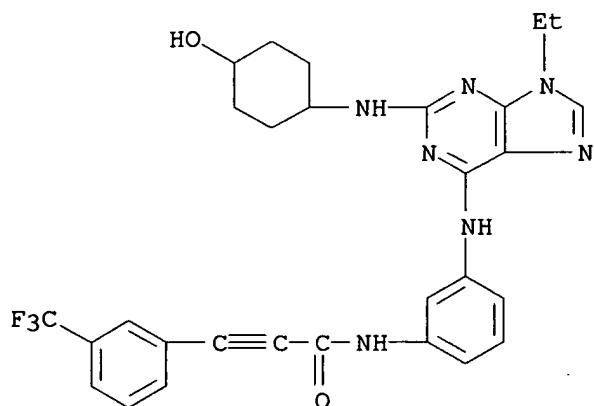
RN 289480-02-0 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(3-methylphenyl)- (9CI) (CA INDEX NAME)



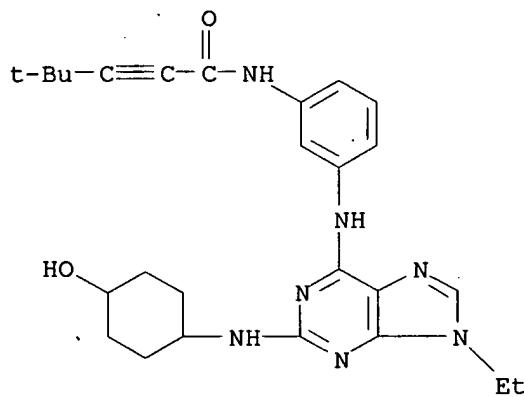
RN 289480-03-1 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



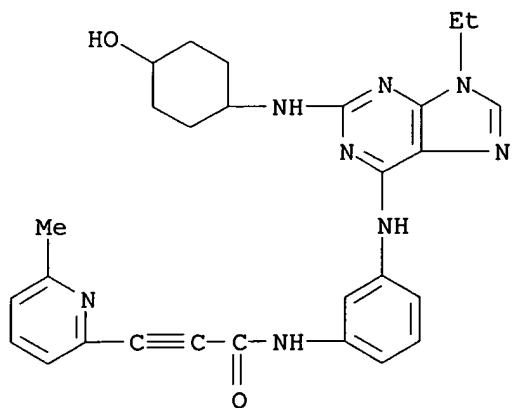
RN 289480-04-2 CAPLUS

CN 2-Pentynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)



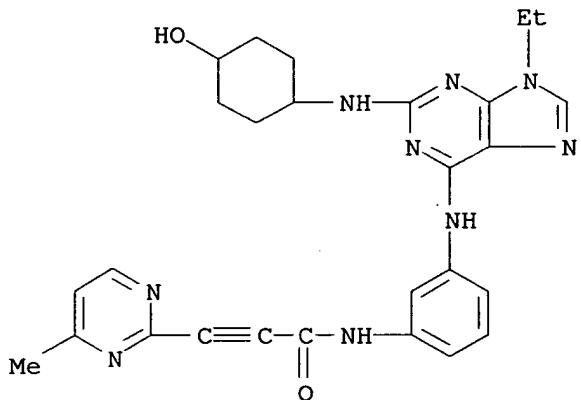
RN 289480-05-3 CAPLUS

CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 289480-06-4 CAPLUS

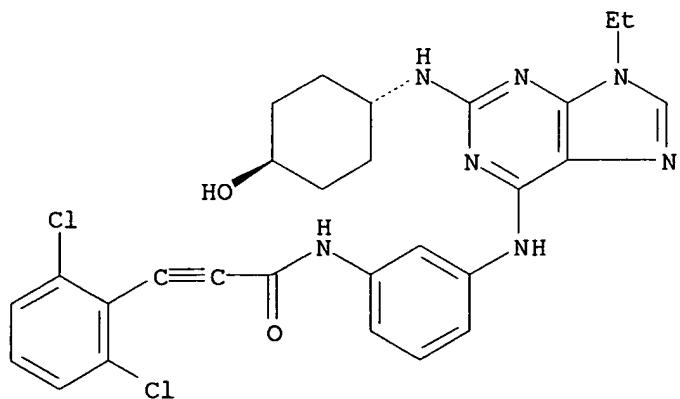
CN 2-Propynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(4-methyl-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



RN 289480-07-5 CAPLUS

CN 2-Propynamide, 3-(2,6-dichlorophenyl)-N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

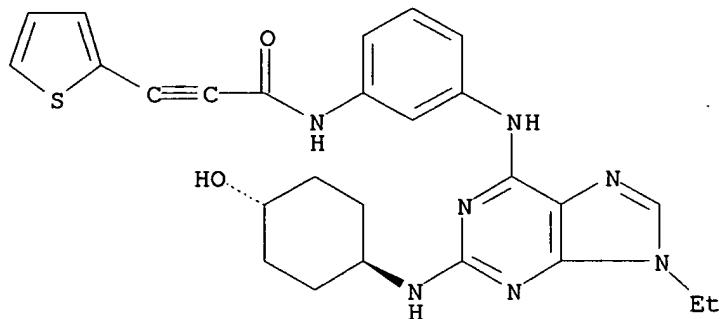
Relative stereochemistry.



RN 289480-08-6 CAPLUS

CN 2-Propynamide, N-[3-[(9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)

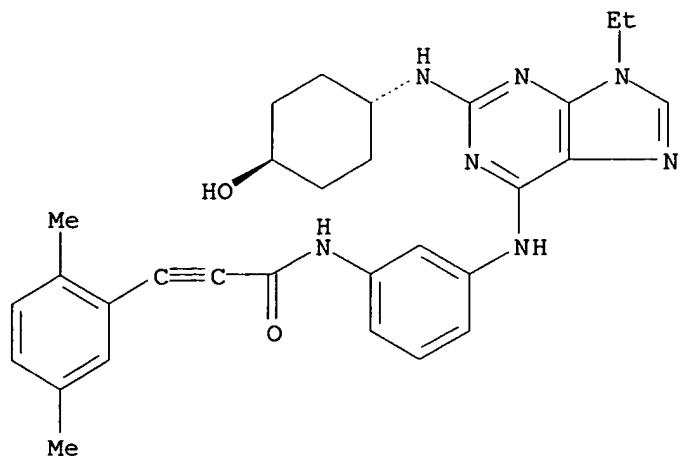
Relative stereochemistry.



RN 289480-09-7 CAPLUS

CN 2-Propynamide, 3-(2,5-dimethylphenyl)-N-[3-[(9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

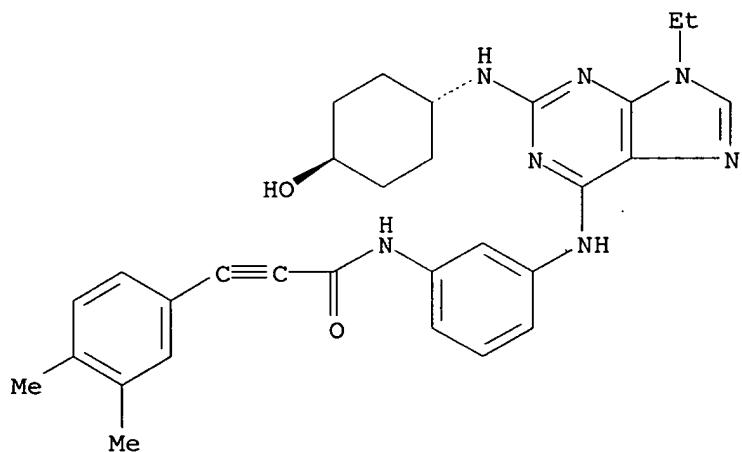
Relative stereochemistry.



RN 289480-10-0 CAPLUS

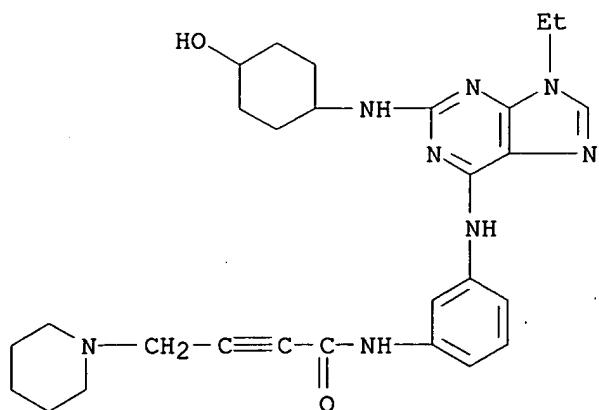
CN 2-Propynamide, 3-[(3,4-dimethylphenyl)-N-[3-[[9-ethyl-2-[(trans-4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



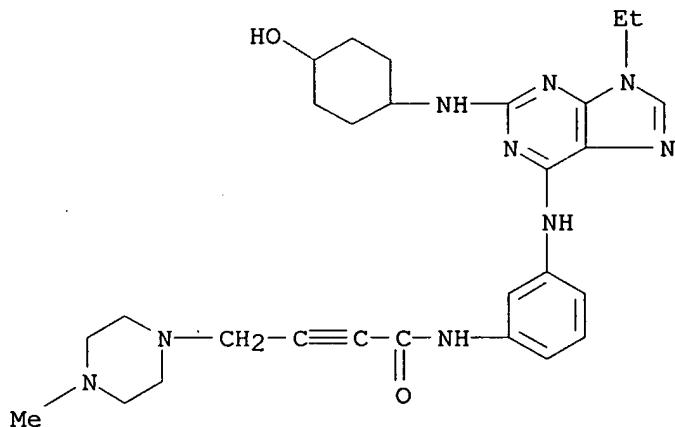
RN 289480-11-1 CAPLUS

CN 2-Butynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 289480-12-2 CAPLUS

CN 2-Butynamide, N-[3-[[9-ethyl-2-[(4-hydroxycyclohexyl)amino]-9H-purin-6-yl]amino]phenyl]-4-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2003 ACS

AN 1999:694900 CAPLUS

DN 132:64470

TI A General Method for the Synthesis of the N2- and N6- Carcinogenic Amine Adducts of 2'-Deoxyguanosine and 2'-Deoxyadenosine

AU De Riccardis, Francesco; Bonala, Radha R.; Johnson, Francis

CS Department of Pharmacological Sciences, State University of New York at Stony Brook, Stony Brook, NY, 11794-3400, USA

SO Journal of the American Chemical Society (1999), 121(45), 10453-10460
CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB A no. of simple arylamino compds. are well-established as pro-carcinogenic agents. Metabolic activation leads to a series of unstable N-hydroxy derivs. that on solvolysis, give nitrenium ions. The latter, which are regarded as the primary mutagenic/carcinogenic agents attack DNA to give a variety of adducts. Principal among these are the C-8 arylation products of 2'-deoxyguanosine (dG) and the N2- and N6-(2-acetylaminio)arylation adducts of dG and 2'-deoxyadenosine (dA), resp. The latter types of adducts have received little biol. attention because synthetic methods for their prepn. have been lacking. We now describe a general high-yield method for the synthesis of both of these types of N-arylated 2'-deoxynucleosides. The key step is a Buchwald-Hartwig coupling reaction between an appropriately protected deriv. of dG or dA and an o-nitroaryl bromide or triflate. Subsequent redn., acetylation, and deprotection of the N2-adducts of dG and of the N6-adduct of dA then gives the desired adducts.

IT 253270-03-0P

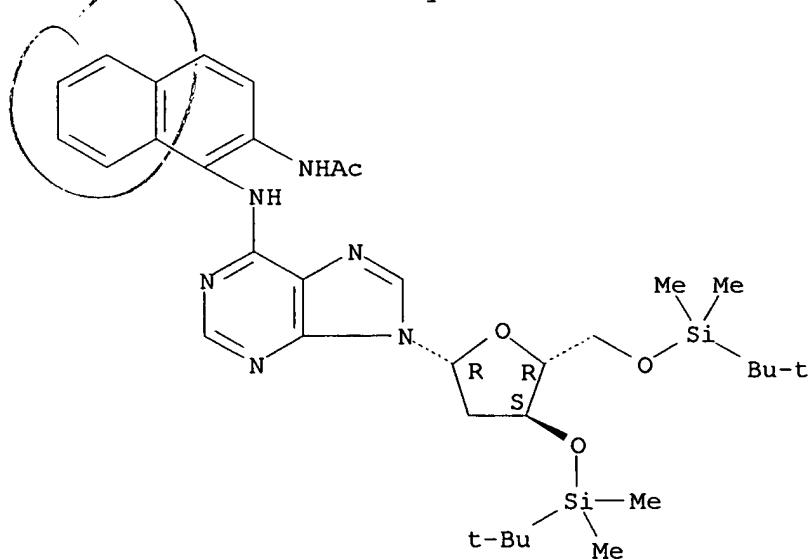
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(a general method for the synthesis of the carcinogenic amine adducts of deoxyguanosine and deoxyadenosine)

RN 253270-03-0 CAPLUS

CN Adenosine, N-[2-(acetylaminio)-1-naphthalenyl]-2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



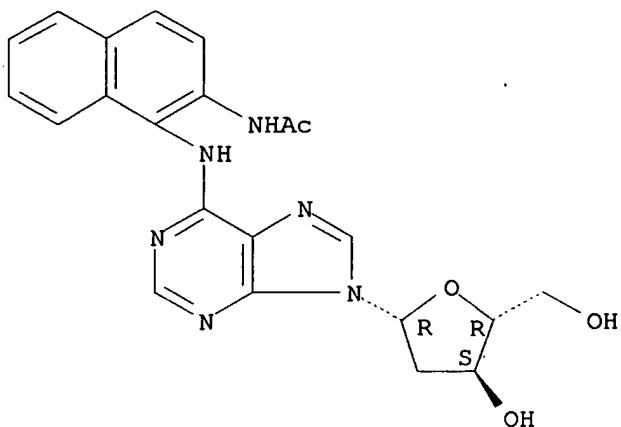
IT 253270-04-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(a general method for the synthesis of the carcinogenic amine adducts
of deoxyguanosine and deoxyadenosine)

RN 253270-04-1 CAPLUS

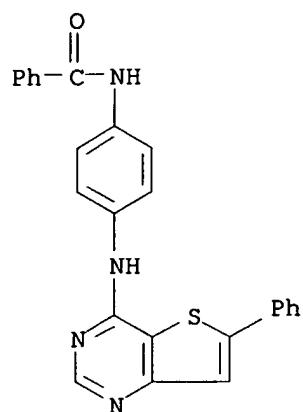
CN Adenosine, N-[2-(acetylamino)-1-naphthalenyl]-2'-deoxy- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1999:325942 CAPLUS
 DN 131:5266
 TI Preparation of thienopyrimidines and thienopyridines as anticancer agents
 IN Munchhof, Michael John; Sobolov-Jaynes, Susan Beth
 PA Pfizer Products Inc., USA
 SO PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9924440	A1	19990520	WO 1998-IB1691	19981022
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2309690	AA	19990520	CA 1998-2309690	19981022
	AU 9894541	A1	19990531	AU 1998-94541	19981022
	EP 1028964	A1	20000823	EP 1998-947716	19981022
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9814018	A	20000926	BR 1998-14018	19981022
	JP 2001522853	T2	20011120	JP 2000-520449	19981022
	ZA 9810253	A	20000510	ZA 1998-10253	19981110
	US 6492383	B1	20021210	US 2000-502129	20000210
	NO 2000002162	A	20000710	NO 2000-2162	20000427
PRAI	US 1997-65097P	P	19971111		
	WO 1998-IB1691	W	19981022		
	US 2001-65097P	P	20011111		
OS	MARPAT 131:5266				
AB	The title compds. [I and II; X1 = N, CH; R1 = H, alkyl, C(O)alkyl; R2 = (un)substituted C6-10 aryl, 5-13 membered heterocyclic; R11 = H, alkyl, C(O)NR6R9, etc.; R6 = H, alkyl, etc.; R9 = H, alkyl, etc.] and their pharmaceutically acceptable salts, useful for treating hyperproliferative disorders, were prep'd. E.g., a multi-step synthesis of I [X1 = N; R1 = indol-5-yl; R2 = H; R11 = Br], was given. Compds. I are effective at 0.2-2.5 g/day for a 70 kg human.				
IT	225382-77-4P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of thienopyrimidines and thienopyridines as anticancer agents)				
RN	225382-77-4 CAPLUS				
CN	Benzamide, N-[4-[(6-phenylthieno[3,2-d]pyrimidin-4-yl)amino]phenyl]- (9CI) (CA INDEX NAME)				



RE.CNT 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1998:564221 CAPLUS
 DN 129:175920
 TI Preparation of nucleosides water soluble adenosine kinase inhibitors
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.
 PA Metabasis Therapeutics, Inc., USA
 SO U.S., 35 pp., Cont.-in-part of U. S. Ser. No. 473,492.
 CODEN: USXXAM

DT Patent

LA English

FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5795977	A	19980818	US 1996-660532	19960607	
	WO 9212718	A1	19920806	WO 1992-US515	19920121	
	W: AU, CA, FI, NO					
	AU 665184	B2	19951221	AU 1992-13599	19920121	
	AU 9213599	A1	19920827			
	NO 9302628	A	19930923	NO 1993-2628	19930721	
	NO 180418	B	19970106			
	NO 180418	C	19970416			
	US 5646128	A	19970708	US 1994-349125	19941201	
	US 5658889	A	19970819	US 1994-355836	19941214	
US 5726302	A	19980310	US 1995-473492	19950607		
PRAI	US 1989-408707	B2	19890918			
	US 1990-466979	B2	19900118			
	US 1991-647117	B2	19910123			
	US 1991-812916	B2	19911223			
	US 1995-473492	A2	19950607			
	US 1989-301222	A2	19890124			
	US 1989-301453	A2	19890124			
	US 1989-408107	B2	19890915			
	WO 1992-US515	W	19920121			
	US 1993-14190	B2	19930203			
	US 1994-192645	B1	19940203			
	US 1994-230421	B1	19940419			

OS MARPAT 129:175920

AB This invention relates to adenosine kinase inhibitors and to nucleoside analogs I (A1, A2 = independently H, acyl; A1A2 = cyclic carbonate; B = alkenyl, alkyl, alkoxy, aminoalkyl, azidoalkyl, hydroxalkyl, haloalkyl; D = alkyl, alkenyl; X = carbocyclic or heterocyclic ring, alkyl, alkenyl; Y = C, N; E = nothing or H, halogen; G = H, halogen; p = 0-3), specifically to water sol., aryl substituted 4-amino-pyrrolo[2,3-d]pyrimidine and pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these adenosine kinase inhibitors in the treatment of cardiovascular, and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(4-carboxymethylphenyl)amino-5-phenyl-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. and tested as adenosine kinase inhibitor (EC50 = 80 nmol.).

IT 186300-90-3P 186300-94-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of nucleosides water sol. adenosine kinase inhibitors)

RN 186300-90-3 CAPLUS

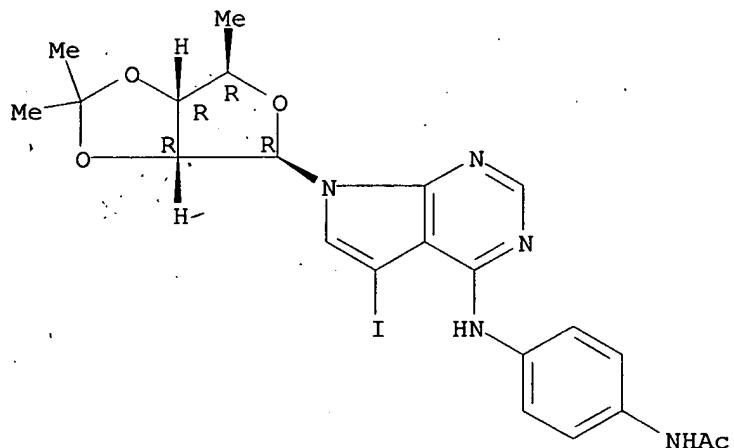
CN Acetamide, N-[4-[(7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-

J. Sonier

09/937,018 (G = N)

ribofuranosyl]-5-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI)
(CA INDEX NAME)

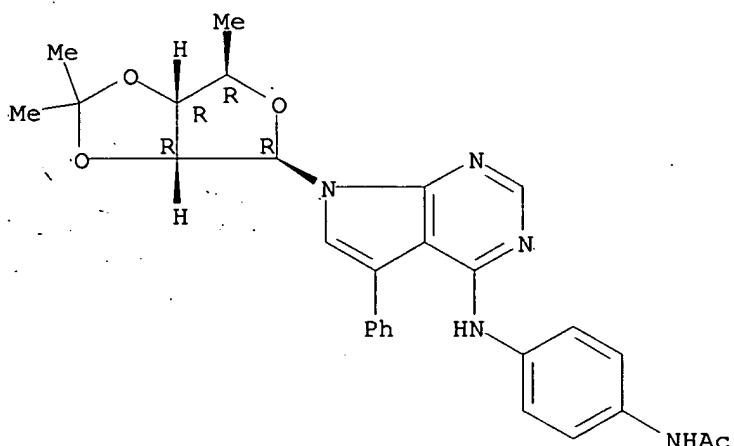
Absolute stereochemistry.



RN 186300-94-7 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



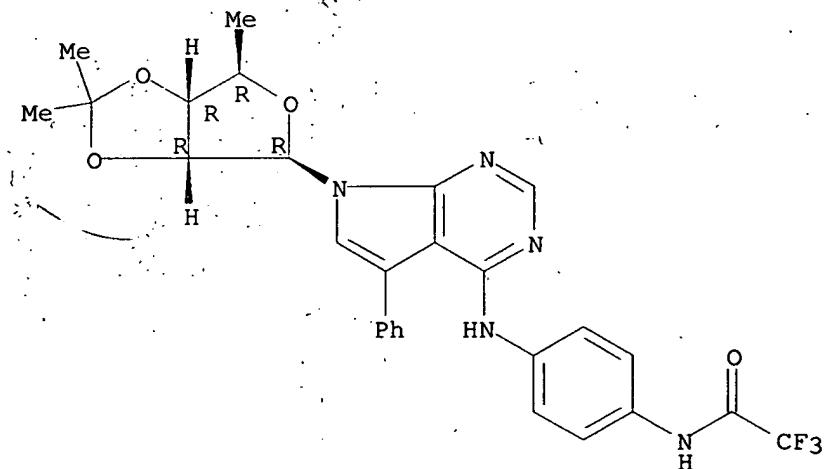
RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1998:405444 CAPLUS
 DN 129:67984
 TI Preparation of orally active nucleoside adenosine kinase inhibitors
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.; Castellino, Angelo J.; Browne, Clinton E.
 PA Metabasis Therapeutics, Inc., USA
 SO U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 473,491.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5763597	A	19980609	US 1996-660506	19960607
	WO 9212718	A1	19920806	WO 1992-US515	19920121
	W: AU, CA, FI, NO				
	AU 665184	B2	19951221	AU 1992-13599	19920121
	AU 9213599	A1	19920827		
	NO 9302628	A	19930923	NO 1993-2628	19930721
	NO 180418	B	19970106		
	NO 180418	C	19970416		
	US 5646128	A	19970708	US 1994-349125	19941201
	US 5658889	A	19970819	US 1994-355836	19941214
US 5721356	A	19980224	US 1995-473491	19950607	
PRAI	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	US 1995-473491	A2	19950607		
	US 1989-301222	A2	19890124		
	US 1989-301453	A2	19890124		
	US 1989-408107	B2	19890915		
	WO 1992-US515	W	19920121		
	US 1993-14190	B2	19930203		
	US 1994-192645	B1	19940203		
	US 1994-230421	B1	19940419		
	OS MARPAT 129:67984				
AB	Orally active nucleoside adenosine kinase inhibitors I (R = alkenyl, alkyl, alkoxyalkyl, aminoalkyl, azidoalkyl, haloalkyl; R1, R2 = independently H, acyl, together as cyclic carbonate; D = halo, alkyl, alkenyl, aryl, aralkyl, alkynyl, haloalkyl, cyano, carboxamido; Y = C, N; G = H, halo; n = 0-3) were prep'd. as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. 4-N-(4-ehtoxymethylphenyl)amino-5-phenyl-7-(5-deoxy-.beta.-D-ribofuranosyl)-pyrrolo[2,3-d]pyrimidine was prep'd. and tested as adenosine kinase inhibitor (IC50 = 6 nM) and as anticonvulsant agent (ED50 > 0.5 mg/kg).				
IT	186393-56-6P 186393-79-3P 186393-92-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of orally active nucleoside adenosine kinase inhibitors)				
RN	186393-56-6 CAPLUS				
CN	Acetamide, N-[4-[(7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]-				

2,2,2-trifluoro- (9CI) (CA INDEX NAME)

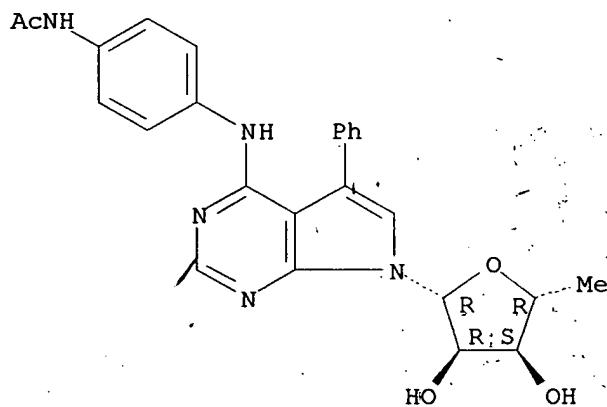
Absolute stereochemistry.



RN 186393-79-3 CAPLUS

CN Acetamide, N-[4-[[7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

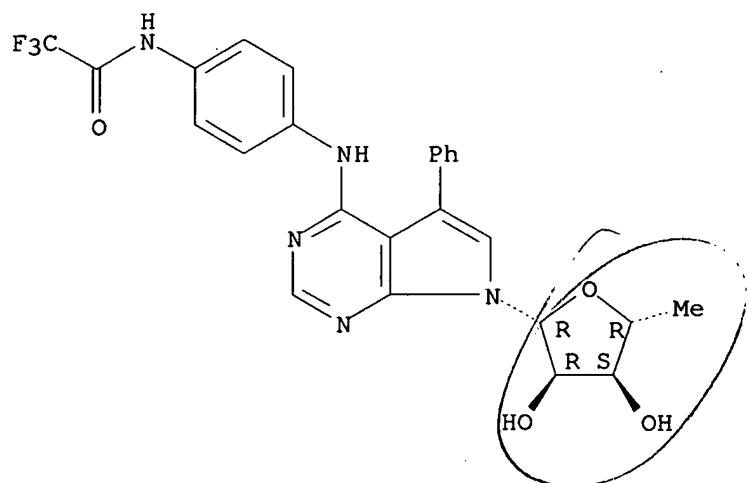
Absolute stereochemistry.



RN 186393-92-0 CAPLUS

CN Acetamide, N-[4-[[7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1998:180580 CAPLUS
 DN 128:230637
 TI Preparation of water soluble adenosine kinase inhibitors as cardiovascular and antiinflammatory agents
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.
 PA Gensia Inc., USA
 SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 812,916, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5726302	A	19980310	US 1995-473492	19950607
	WO 9212718	A1	19920806	WO 1992-US515	19920121
	W: AU, CA, FI, NO				
	AU 665184	B2	19951221	AU 1992-13599	19920121
	AU 9213599	A1	19920827		
	NO 9302628	A	19930923	NO 1993-2628	19930721
	NO 180418	B	19970106		
	NO 180418	C	19970416		
	US 5646128	A	19970708	US 1994-349125	19941201
	US 5658889	A	19970819	US 1994-355836	19941214
	US 5864033	A	19990126	US 1995-451236	19950526
	CA 2247983	AA	19961219	CA 1996-2247983	19960607
	WO 9640707	A1	19961219	WO 1996-US10956	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	AU 9664790	A1	19961230	AU 1996-64790	19960607
	EP 836613	A1	19980422	EP 1996-924302	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 5795977	A	19980818	US 1996-660532	19960607
	JP 11509181	T2	19990817	JP 1996-502319	19960607
	BR 9609011	A	19991214	BR 1996-9011	19960607
PRAI	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	US 1989-301222	A2	19890124		
	US 1989-301453	A2	19890124		
	US 1989-408107	B2	19890915		
	WO 1992-US515	W	19920121		
	US 1993-14190	B2	19930203		
	US 1994-192645	B1	19940203		
	US 1994-230421	B1	19940419		
	US 1995-473492	A	19950607		
	WO 1996-US10956	W	19960607		
OS	MARPAT 128:230637				
AB	This invention relates to adenosine kinase inhibitors and to nucleoside analogs I (R ₁ , R ₂ = independently H, acyl; R ₁ R ₂ = cyclic carbonate; B = alkenyl; D = halo, alkynyl, haloalkyl, CN, carboxamido, alkyl; X = carbocyclic, heterocyclic, aryl; Y = C, N; E = pair of electron, H, halo;				

G = H, halo) specifically to water sol., aryl substituted 4-aminopyrrolo[2,3-d]pyrimidine and pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these adenosine kinase inhibitors in the treatment of cardiovascular, and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(3-pyridylmethylamino)-iodo-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. and showed adenosine kinase inhibition (IC50 = 120 nmol.) and anticonvulsant activity (ED50 = 1.0 mg/kg).

IT 186300-90-3P 186300-94-7P

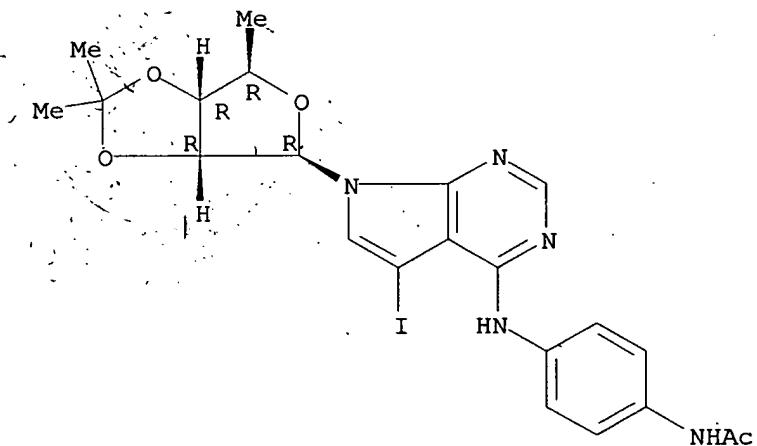
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of water sol. adenosine kinase inhibitors as cardiovascular and antiinflammatory agents)

RN 186300-90-3 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

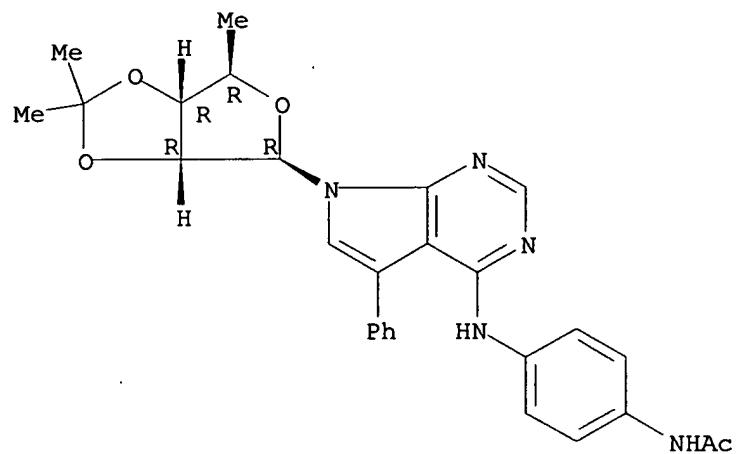
Absolute stereochemistry.



RN 186300-94-7 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1998:146721 CAPLUS
 DN 128:192880
 TI Preparation of orally active adenosine kinase inhibitors
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Gomez, Galeno Jorge E.; Castellino, Angelo J.; Browne, Clinton E.
 PA Gensia, Inc., USA
 SO U.S., 18 pp., Cont.-in-part of U.S. Ser. No. 812,916, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 14

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5721356	A	19980224	US 1995-473491	19950607
	WO 9212718	A1	19920806	WO 1992-US515	19920121
	W: AU, CA, FI, NO				
	AU 665184	B2	19951221	AU 1992-13599	19920121
	AU 9213599	A1	19920827		
	NO 9302628	A	19930923	NO 1993-2628	19930721
	NO 180418	B	19970106		
	NO 180418	C	19970416		
	US 5646128	A	19970708	US 1994-349125	19941201
	US 5658889	A	19970819	US 1994-355836	19941214
	US 5864033	A	19990126	US 1995-451236	19950526
	CA 2247984	AA	19961219	CA 1996-2247984	19960607
	WO 9640706	A1	19961219	WO 1996-US10919	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
AU 9663958	A1	19961230	AU 1996-63958	19960607	
EP 832092	A1	19980401	EP 1996-923451	19960607	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
US 5763597	A	19980609	US 1996-660506	19960607	
JP 11507390	T2	19990629	JP 1996-502318	19960607	
BR 9608625	A	19991207	BR 1996-8625	19960607	
PRAI	US 1989-408707	B2	19890915		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	US 1989-301222	A2	19890124		
	US 1989-301453	A2	19890124		
	US 1989-408107	B2	19890915		
	WO 1992-US515	W	19920121		
	US 1993-14190	B2	19930203		
	US 1994-192645	B1	19940203		
	US 1994-230421	B1	19940419		
US 1995-473491	A	19950607			
WO 1996-US10919	W	19960607			
OS MARPAT 128:192880					
AB	This invention relates to adenosine kinase inhibitors and to nucleoside analogs I (B = alkyl, hydroxyalkyl, alkoxyalkyl, aminoalkyl; D = H, aryl; Y = CH, N, CR, R = halo; G = H, halo; p = 0, 1; X = aryl ring), specifically to orally active, substituted 5-aryl pyrrolo[2,3-d]pyrimidine				

and 3-aryl pyrazolo[3,4-d]pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(4-cyanophenyl)-amino-5-(4-methoxyphenyl)-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. as adenosine kinase inhibitor ($IC_{50} = 1.0-4.0$ nM) and antiinflammatory and anticonvulsant agent.

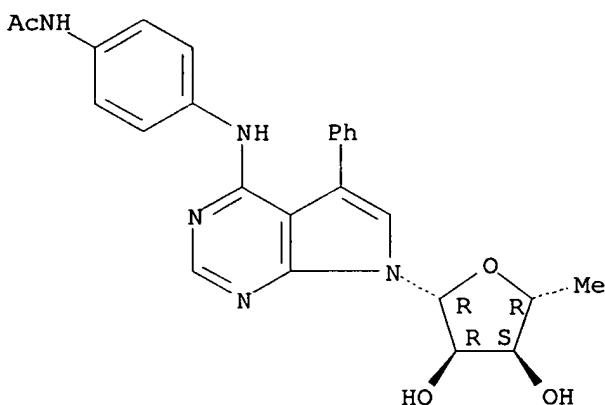
IT 186393-79-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of orally active adenosine kinase inhibitors)

RN 186393-79-3 CAPLUS

CN Acetamide, N-[4-[(7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1997:539266 CAPLUS
 DN 127:220667
 TI Preparation of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family
 IN Bridges, Alexander James; Denny, William Alexander; Fry, David; Kraker, Alan; Meyer, Robert Frederick; Newcastle, Gordon William; Thompson, Andrew Mark
 PA Warner-Lambert Co., USA
 SO U.S., 55 pp., Cont.-in-part of U.S. Ser. No. 186,735, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5654307	A	19970805	US 1994-358351	19941223
	IL 112249	A1	20011125	IL 1995-112249	19950104
	ZA 9500440	A	19951010	ZA 1995-440	19950119
	ZA 9500441	A	19951010	ZA 1995-441	19950119
	CA 2177372	AA	19950727	CA 1995-2177372	19950123
	WO 9519774	A1	19950727	WO 1995-US941	19950123
	W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, UA, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9517314	A1	19950808	AU 1995-17314	19950123
	AU 686334	B2	19980205		
	EP 742717	A1	19961120	EP 1995-909316	19950123
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1139383	A	19970101	CN 1995-191310	19950123
	CN 1139430	A	19970101	CN 1995-191318	19950123
	JP 09508127	T2	19970819	JP 1995-519732	19950123
	PL 179132	B1	20000731	PL 1995-315633	19950123
	RO 117257	B1	20011228	RO 1996-1517	19950123
	FI 9602856	A	19960925	FI 1996-2856	19960715
	NO 9603094	A	19960724	NO 1996-3094	19960724
	US 6084095	A	20000704	US 1997-811797	19970306
	US 6265410	B1	20010724	US 1998-191163	19981113
	US 2001027197	A1	20011004	US 2001-824606	20010402
	US 6455534	B2	20020924		
PRAI	US 1994-186735	B2	19940125		
	US 1994-186745	B2	19940125		
	US 1994-358351	A	19941223		
	WO 1995-US941	W	19950123		
	US 1997-811797	A3	19970306		
	US 1998-191163	A3	19981113		

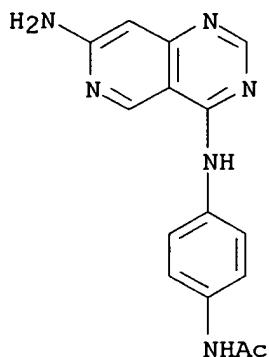
OS MARPAT 127:220667

AB The title compds. [I and II; X = NH, NR7 (wherein R7 = C1-4 alkyl, OH, NH2, etc.); n = 0-2; R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, etc.; m = 0-3; R3-R5 = H, C1-4 alkyl, C3-8 cycloalkyl, etc.], inhibitors of epidermal growth factor receptor family of tyrosine kinase which are useful in treating proliferative diseases such as cancer, synovial pannus invasion in arthritis, psoriasis, vascular restenosis and angiogenesis and addnl. useful in the treatment of pancreatitis and kidney disease as well as a contraceptive agent, were prep'd. Thus, reaction of freshly prep'd. 4-chloropyrido[3,2-d]pyrimidine with PhCH2NH2 in iPrOH contg. a trace of conc. HCl afforded 77% III which showed IC50 of 3.6 .mu.M against EGF receptor tyrosine kinase inhibition.

IT **171178-39-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family)

RN 171178-39-5 CAPLUS

CN Acetamide, N-[4-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI)
(CA INDEX NAME)

L8 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1997:405920 CAPLUS
 DN 127:34237
 TI Preparation of purine derivatives
 IN Zimmermann, Juerg; Capraro, Hans-Georg; Peterli, Patricia; Furet, Pascal
 PA Novartis Ag, Switz.; Zimmermann, Juerg; Capraro, Hans-Georg; Peterli,
 Patricia; Furet, Pascal
 SO PCT Int. Appl., 97 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9716452	A1	19970509	WO 1996-EP4573	19961022
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2234609	AA	19970509	CA 1996-2234609	19961022
	AU 9672968	A1	19970522	AU 1996-72968	19961022
	EP 874846	A1	19981104	EP 1996-934774	19961022
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1202896	A	19981223	CN 1996-198457	19961022
	CN 1066147	B	20010523		
	BR 9611157	A	19990330	BR 1996-11157	19961022
	JP 11514336	T2	19991207	JP 1996-506047	19961022
	ZA 9609168	A	19970501	ZA 1996-9168	19961031
PRAI	CH 1995-3094	A	19951101		
	CH 1996-2213	A	19960910		
	WO 1996-EP4573	W	19961022		

OS MARPAT 127:34237

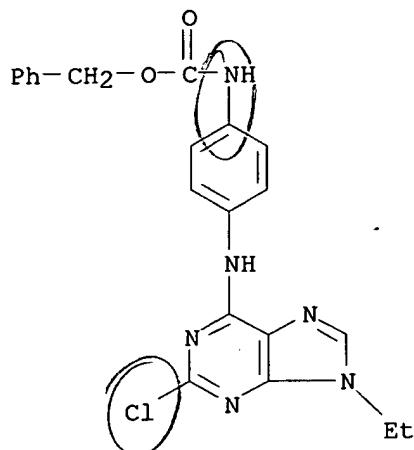
AB 2-Amino-6-anilino-purine derivs. I (R = halo, alkyl, HO, alkanoyloxy, alkoxy, substituted alkoxy, carboxyl, alkoxy carbonyl, carbamoyl, amino, aminosulfonyl, F3C; R1 = H, carbamoyl, alkyl carbamoyl; R2 = alkyl, Ph, substituted Ph; R3 = H, amino, phenylamino, alkylamino, HO, phenoxy, alkoxy, acyl, carbocyclic radical, or heterocyclic radical; R4 = amino, OH, phenoxy, alkoxy, acyl, substituted hydrocarbon radical, carbocyclic radical, or heterocyclic radical; R3R4 may form a ring; m and n are 0, 1; q = 1-5) were prep'd. These compds. inhibit p34cdc2/cyclin Bcdc13 kinase and can be used for treatment of hyperproliferative diseases, for example tumor diseases (no data). Thus, 2-chloro-6-(3-chlorophenylamino)-9-ethyl-9H-purine, prep'd. in two steps from 3-chloroaniline and 2,6-dichloropurine, was treated with ethylenediamine to give 2-(2-aminoethylamino)-6-(3-chlorophenylamino)-9-ethyl-9H-purine.

IT 190655-10-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of antitumor purine derivs.)

RN 190655-10-8 CAPLUS

CN Carbamic acid, [4-[(2-chloro-9-ethyl-9H-purin-6-yl)amino]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



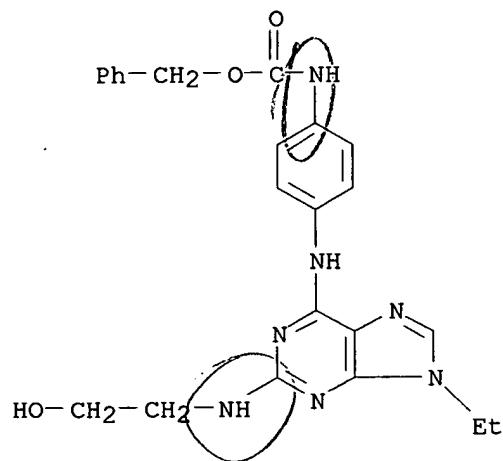
IT 190654-72-9P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
USES (Uses)

(prepn. of antitumor purine derivs.)

RN 190654-72-9 CAPLUS

CN Carbamic acid, [4-[[9-ethyl-2-[(2-hydroxyethyl)amino]-9H-purin-6-yl]amino]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



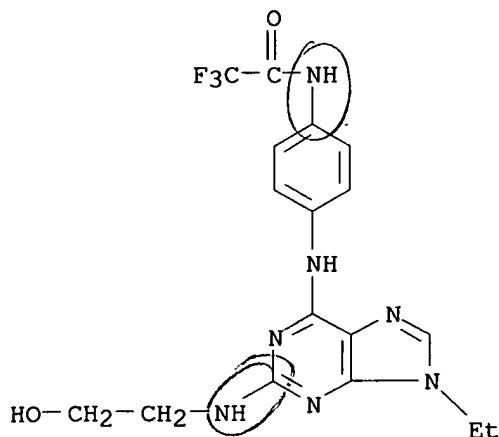
IT 190654-74-1P 190654-75-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antitumor purine derivs.)

(prepn. of antitumor purine derivs.)

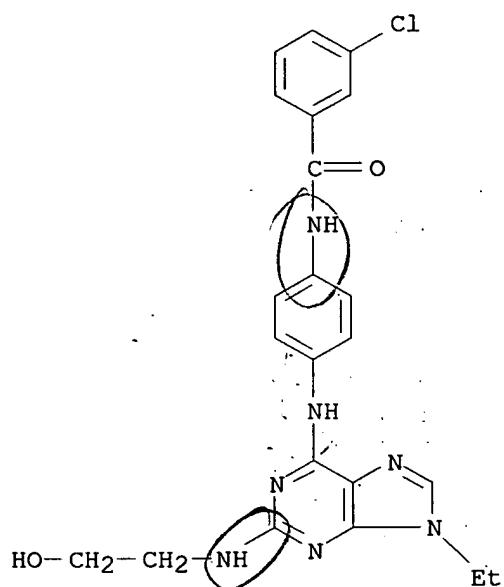
RN 190654-74-1 CAPLUS

CN Acetamide, N-[4-[(9-ethyl-2-[(2-hydroxyethyl)amino]-9H-purin-6-yl)amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)



RN 190654-75-2 CAPLUS

CN Benzamide, 3-chloro-N-[4-[(9-ethyl-2-[(2-hydroxyethyl)amino]-9H-purin-6-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

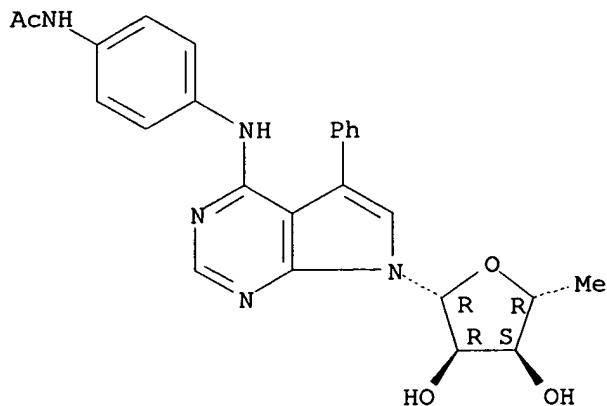


L8 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1997:121409 CAPLUS
 DN 126:131750
 TI Preparation of nucleoside analogs as orally active adenosine kinase
 inhibitors
 IN Ugarkar, Gheemarao G.; Erion, Mark D.; Galeno, Jorge E. Gomez; Castellino,
 Angelo J.; Browne, Clinton E.
 PA Gensia Inc., USA
 SO PCT Int. Appl., 67 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 14

I Somel

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640706	A1	19961219	WO 1996-US10919	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5721356	A	19980224	US 1995-473491	19950607
	AU 9663958	A1	19961230	AU 1996-63958	19960607
	EP 832092	A1	19980401	EP 1996-923451	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11507390	T2	19990629	JP 1996-502318	19960607
	BR 9608625	A	19991207	BR 1996-8625	19960607
PRAI	US 1995-473491	A	19950607		
	US 1989-408707	B2	19890915		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	WO 1996-US10919	W	19960607		
OS	MARPAT 126:131750				
AB	Title nucleosides I (A1, A2 = H, acyl, cyclic carbonate; B = alkenyl, alkyl, aminoalkyl, azidoalkyl, haloalkyl; D = halogen, alkyl, alkenyl, aryl, aralkyl, alkynyl, CN, carboxamido; Y = C, N; E = H, halogen, alkyl; G = H, halogen; p = 0-3) were prepd. as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular diseases, inflammation, and other diseases which can be regulated by increasing the local concn. of adenosine. Thus, 4-N-(4-methoxyphenyl)amino-3-phenyl-1-(5-azido-5-deoxy-.beta.-D-ribofuranosyl)pyrazolo[3,4-d]pyrimidine was prepd. and showed adenosine kinase inhibition (IC50 = 8 nM) and anticonvulsant activity (i.p. >> 3.4 mg/Kg).				
IT	186393-79-3P 186393-92-0P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(prepn. of nucleoside analogs as orally active adenosine kinase inhibitors)				
RN	186393-79-3 CAPLUS				
CN	Acetamide, N-[4-[(7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)				

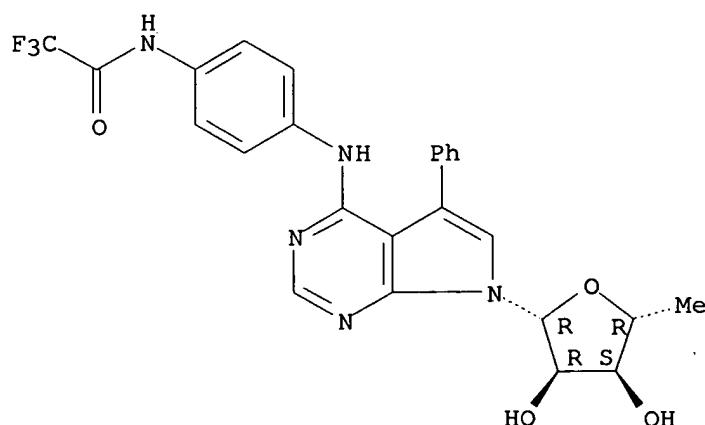
Absolute stereochemistry.



RN 186393-92-0 CAPLUS

CN Acetamide, N-[4-[(7-(5-deoxy-.beta.-D-ribofuranosyl)-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



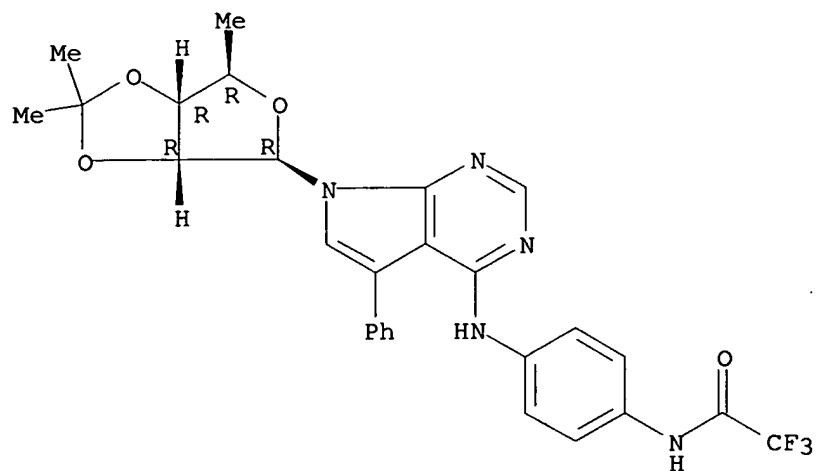
IT 186393-56-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of nucleoside analogs as orally active adenosine kinase inhibitors)

RN 186393-56-6 CAPLUS

CN Acetamide, N-[4-[(7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amino]phenyl]-2,2,2-trifluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1997:119216 CAPLUS
 DN 126:131749
 TI Preparation of water-soluble nucleoside analogs as adenosine kinase
 inhibitors
 IN Ugarkar, Bheemarao G.; Erion, Mark D.; Galeno, Jorge E. Gomez
 PA Gensia Inc., USA
 SO PCT Int. Appl., 106 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 14

Topical

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640707	A1	19961219	WO 1996-US10956	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5726302	A	19980310	US 1995-473492	19950607
	AU 9664790	A1	19961230	AU 1996-64790	19960607
	EP 836613	A1	19980422	EP 1996-924302	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11509181	T2	19990817	JP 1996-502319	19960607
	BR 9609011	A	19991214	BR 1996-9011	19960607
PRAI	US 1995-473492	A	19950607		
	US 1989-408707	B2	19890918		
	US 1990-466979	B2	19900118		
	US 1991-647117	B2	19910123		
	US 1991-812916	B2	19911223		
	WO 1996-US10956	W	19960607		

OS MARPAT 126:131749

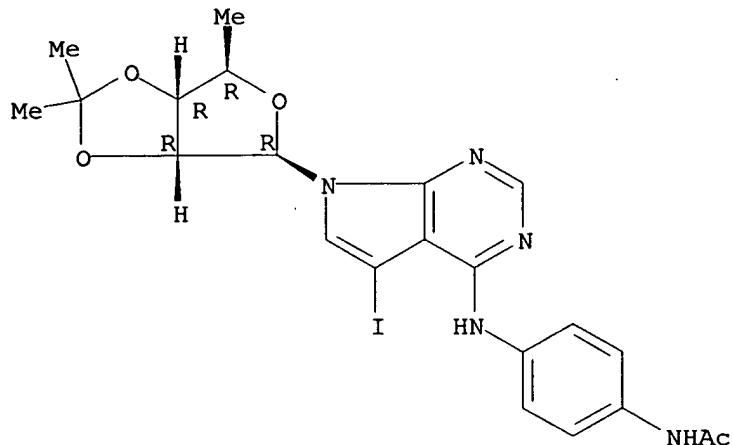
AB This invention relates to adenosine kinase inhibitors and to nucleoside analogs specifically to orally active, substituted 5-aryl pyrrolo[2,3-d] pyrimidine and 3-aryl pyrazolo[3,4-d] pyrimidine nucleoside analogs having activity as adenosine kinase inhibitors. The invention also relates to the prepn. and use of these and other adenosine kinase inhibitors in the treatment of cardiovascular and cerebrovascular disease, inflammation and other diseases which can be regulated by increasing the local concn. of adenosine. Water-sol. nucleoside analogs I [R = (un)substituted aryl; R1, R2 = H, acyl, cyclic carbonate; B = alkenyl, alkyl, ether, aminoalkyl, azidoalkyl; D = halo, alkyl, alkenyl, cyano, carboxamido; E, G = H, halogen] were prepd. as adenosine kinase inhibitors. Thus, 4-N-(4-guanidinophenyl)amino-5-phenyl-7-(5-deoxy-1-.beta.-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidine was prepd. as adenosine kinase inhibitor (IC50= 6 nmol) and anticonvulsant (ED50 = 5.0 mg/kg).

IT 186300-90-3P 186300-94-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of water-sol. nucleoside analogs as adenosine kinase inhibitors)

RN 186300-90-3 CAPLUS
 CN Acetamide, N-[4-[(7-[5-deoxy-2,3-O-(1-methylethylidene)-.beta.-D-

ribofuranosyl]-5-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI)
(CA INDEX NAME)

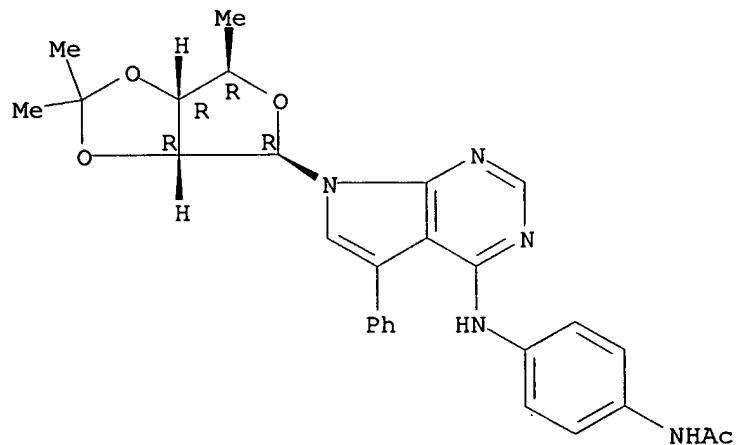
Absolute stereochemistry.



RN 186300-94-7 CAPLUS

CN Acetamide, N-[4-[[7-[5-deoxy-2,3-O-(1-methylethylidene)-beta-D-ribofuranosyl]-5-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]phenyl]- (9CI) (CA INDEX NAME)

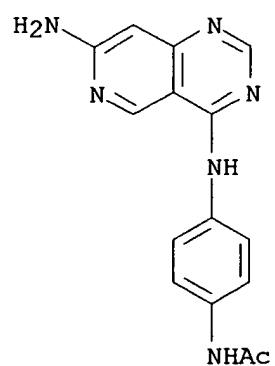
Absolute stereochemistry.



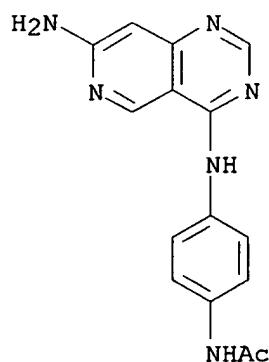
L8 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1995:969436 CAPLUS
 DN 124:8839
 TI Preparation of bicyclic pyrimidines capable of inhibiting tyrosine kinases of the epidermal growth factor receptor family
 IN Bridges, Alexander James; Denny, William Alexander; Fry, David; Kraker, Alan; Meyer, Robert; Newcastle, Gordon William; Thompson, Andrew Mark
 PA Warner-Lambert Co., USA
 SO PCT Int. Appl., 218 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9519774	A1	19950727	WO 1995-US941	19950123
	W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, UA, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5654307	A	19970805	US 1994-358351	19941223
	ZA 9500440	A	19951010	ZA 1995-440	19950119
	AU 9517314	A1	19950808	AU 1995-17314	19950123
	AU 686334	B2	19980205		
	EP 742717	A1	19961120	EP 1995-909316	19950123
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09508127	T2	19970819	JP 1995-519732	19950123
	PL 179132	B1	20000731	PL 1995-315633	19950123
	RU 2174980	C2	20011020	RU 1996-116985	19950123
	RO 117257	B1	20011228	RO 1996-1517	19950123
	FI 9602856	A	19960925	FI 1996-2856	19960715
	NO 9603094	A	19960724	NO 1996-3094	19960724
PRAI	US 1994-186735	A	19940125		
	US 1994-186745	A	19940125		
	US 1994-358351	A	19941223		
	WO 1995-US941	W	19950123		
OS	MARPAT	124:8839			
AB	The title compds. [I; A-E = nitrogen with the remaining atom(s) carbon, or any two contiguous positions in A-E taken together can be a single heteroatom N, O or S, in which case one of the two remaining atoms must be carbon, and the other can be either carbon or nitrogen, etc.; A1 = divalent Ph, thienyl, furanyl pyrimidinyl, heterocyclyl, etc.; R1 = H, lower alkyl; R2 = lower alkyl, cycloalkyl, alkoxy, cycloalkoxy, NO ₂ , halogen, etc.; R3-R6 = H, alkyl, alkoxy, HO, acyloxy, (un)substituted NH ₂ , etc.; X = O, S, (un)substituted NH; m = 0-3; n = 0-2], useful for inhibiting tyrosine kinases of the epidermal growth factor receptor family, are prep'd. Thus, 4-(3-bromoanilino)-6-fluoropyrido[3,4-d]pyrimidine was reacted with Me ₂ NH, producing 4-(3-bromoanilino)-6-(dimethylamino)pyrido[3,4-d]pyrimidine, which demonstrated a IC ₅₀ of 6 pM for inhibition of tyrosine kinase at an epidermal growth factor receptor.				
IT	171178-39-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of bicyclic pyrimidines capable of inhibiting tyrosine kinases of the epidermal growth factor receptor family)				
RN	171178-39-5 CAPLUS				
CN	Acetamide, N-[4-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI) (CA INDEX NAME)				

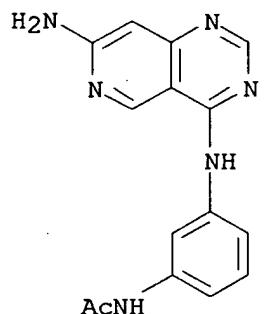
09/937,018 (G = N)



L8 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1995:817808 CAPLUS
 DN 124:29702
 TI Tyrosine kinase inhibitors. 7. 7-amino-4-(phenylamino)- and
 7-amino-4-[(phenylmethyl)amino]pyrido[4,3-d]pyrimidines: a new class of
 inhibitors of the tyrosine kinase activity of the epidermal growth factor
 receptor
 AU Thompson, Andrew M.; Bridges, Alexander J.; Fry, David W.; Kraker, Alan
 J.; Denny, William A.
 CS Cancer Research Laboratory, University Auckland School Medicine, Auckland,
 N. Z.
 SO Journal of Medicinal Chemistry (1995), 38(19), 3780-8
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB The synthesis of 7-aminopyrido[4,3-d]pyrimidines bearing arom. side chains
 at the 4-position is reported. These compds. are shown to be a new class
 of inhibitors of the tyrosine kinase activity of the epidermal growth
 factor receptor (EGFR). Structure-activity relationships (SARs) for
 substitution in both 4-(phenylamino)- and 4-[(phenylmethyl)amino] side
 chains were detd., using a series of substituents (NO₂, Br, CF₃, OMe, NH₂,
 and NMe₂) selected primarily for their wide range of electronic
 properties. In the phenylamino series, 3-substituted derivs. were more
 potent than the corresponding 2- and 4-substituted analogs. For the
 3-substituted compds., activity was favored by electron withdrawal, in a
 relationship which could be quantified, with the 3-Br being the most
 potent compd. (IC₅₀ = 0.01 .mu.M compared with IC₅₀ = 0.34 .mu.M for the
 unsubstituted side chain deriv.). No such correlation was apparent for
 the 2- or 4-substituent, although Br was still the best substituent. In
 contrast, in the 4-[(phenylmethyl)amino] series, substitution of the side
 chain was not beneficial. For the 4-(phenylamino) series, the substituent
 SARs are broadly similar to that found previously for 4-
 (phenylamino)quinazolines. These results suggest that side chain SARs may
 be broadly invariant over a range of different chromophores, with the side
 chain of choice for optimization of EGFR inhibitory activity being
 4-[(3-bromophenyl)amino].
 IT 171178-39-5P 171620-20-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (pyrido[4,3-d]pyrimidines: a new class of inhibitors of the tyrosine
 kinase activity of the epidermal growth factor receptor)
 RN 171178-39-5 CAPLUS
 CN Acetamide, N-[4-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI)
 (CA INDEX NAME)



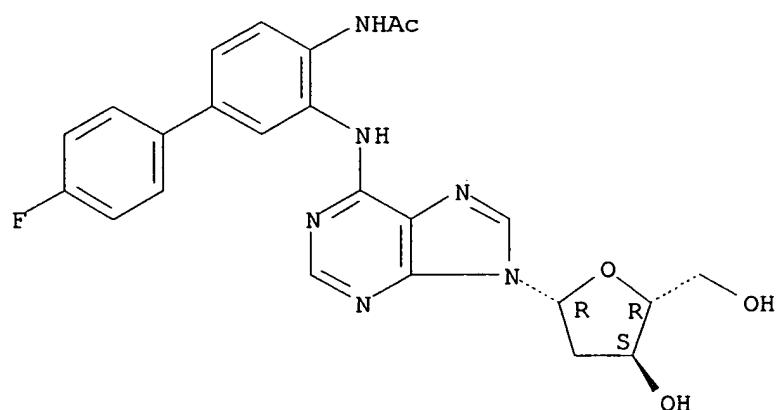
RN 171620-20-5 CAPLUS
CN Acetamide, N-[3-[(7-aminopyrido[4,3-d]pyrimidin-4-yl)amino]phenyl]- (9CI)
(CA INDEX NAME)



L8 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1991:242547 CAPLUS
 DN 114:242547
 TI An unusual dearomatized adduct formed by reaction of 4'-fluoro-4-(acetylamino)biphenyl N-sulfate with deoxyadenosine
 AU Van de Poll, Monique L. M.; Venizelos, Vicky; Niessen, Wilfried M. A.; Meerman, John H. N.
 CS Cent. Bio-Pharm. Sci., Univ. Leiden, Leiden, 2300 RA, Neth.
 SO Chemical Research in Toxicology (1991), 4(3), 318-23
 CODEN: CRTOEC; ISSN: 0893-228X
 DT Journal
 LA English
 OS CASREACT 114:242547
 AB The sulfate ester of the liver carcinogen N-hydroxy-4'-fluoro-4-(acetylamino)biphenyl (FAABP-N-sulfate) is believed to be a reactive intermediate in the male rat liver in vivo. After reaction of FAABP-N-sulfate with double-stranded calf thymus DNA in vitro, 30% of the adducts was identified as N-(deoxyguanosin-8-yl)-4'-fluoro-4-(acetylamino)biphenyl (dG-C8-FAABP) and 16% was suggested to be 3-(deoxyguanosin-N2-yl)-4'-fluoro-4-(acetylamino)biphenyl. To investigate the identity of the remaining adducts, FAABP-N-sulfate was reacted with deoxyadenosine. Two adducts could be isolated, which were identified by ¹H NMR and mass spectrometry as 3-(deoxyadenosin-N6-yl)-4'-fluoro-4-(acetylamino)biphenyl (3-dA-N6-FAABP) and 3-(deoxyadenosin-N6-yl)-4'-fluoro-4-(acetylamino)-3,4-dihydrobiphenyl (3-dA-N6-FHAIBP). An addnl. center of chirality is introduced at C3 (biphenyl) in the latter adduct. Therefore, 3-dA-N6-FHAIBP exists as a pair of 2 diastereomers with H-3 (biphenyl) in the .alpha. or .beta. position. Hydrogen bonding between the proton on N6 (adenine) and the imine nitrogen or the acetyl imino oxygen is suggested to stabilize 3-dA-N6-FHAIBP and to prevent its conversion to 3-dA-N6-FAABP by restoration of the arom. system. The adduct 3-dA-N6-FHAIBP was also formed in the reaction of N-OSO₃H-FAABP with DNA; it accounted for 3-6% of total covalent binding.

IT 132884-70-9
 RL: FORM (Formation, nonpreparative)
 (formation of, via deoxyadenosine reaction with
 hydroxyfluoro(acetylamino)biphenyl)
 RN 132884-70-9 CAPLUS
 CN Adenosine, N-[4-(acetylamino)-4'-fluoro[1,1'-biphenyl]-3-yl]-2'-deoxy-
 (9CI) (CA INDEX NAME)

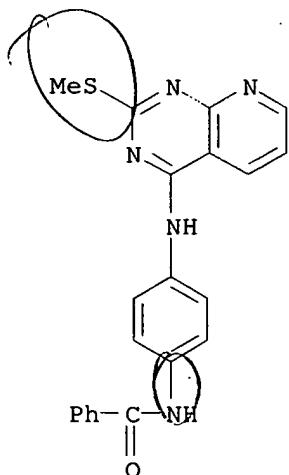
Absolute stereochemistry.



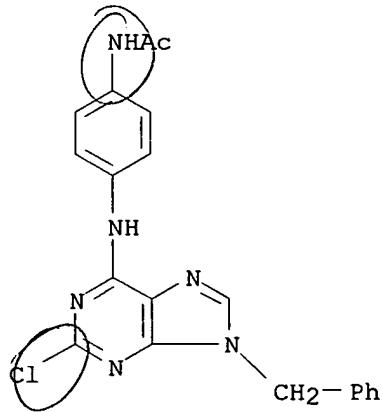
L8 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1991:164275 CAPLUS
 DN 114:164275
 TI Preparation of 4-amino-2-(methylthio)pyrido[2,3-d]pyrimidines as diuretics
 IN Monge, A.; Martinez Merino, V.; San Martin, M. Carmen
 PA Tena, Guillermo, Laboratorios Morirth S. A., Spain
 SO Span., 6 pp.
 CODEN: SPXXAD
 DT Patent
 LA Spanish
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ES 2009217	A6	19890916	ES 1987-1988	19870707
PRAI	ES 1987-1988		19870707		
OS	MARPAT 114:164275				

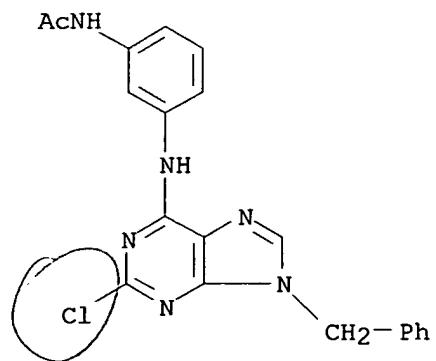
AB Title compds. I [R = morpholino, piperidino, piperazino, 2-pyridylamino, 2-thiazolylamino, NHPh, NHC₆H₄X (X = 4-Cl, 4-OMe, 4-NO₂, 4-Bu, 4-NHBz, 4-OH, 4-Ac, 2-Bz, 4-SO₂NH₂), NHNH₂, NHNHY (Y = Me, Ph, Ac), homologous 5- or 6-membered N-contg. radicals], useful as diuretics and antihypertensives (no data), are prep'd. by amination of I (R = leaving group, e.g., Br, Cl, iodo, F) with corresponding amines. Thus, 2-methylthio-3H-pyrido[2,3-d]pyrimidin-4-one-HCl was refluxed in POCl₃ to give 65% I (R = Cl), which was stirred with 4-H₂NC₆H₄Ac in EtOH at room temp. and then at reflux to give 45% I (R = NHC₆H₄Ac-4).
 IT 133055-93-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as diuretic)
 RN 133055-93-3 CAPLUS
 CN Benzamide, N-[4-[(2-(methylthio)pyrido[2,3-d]pyrimidin-4-yl)amino]phenyl]-(9CI) (CA INDEX NAME)



L8 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1990:197954 CAPLUS
 DN 112:197954
 TI Antirhinovirus activity of 6-anilino-9-benzyl-2-chloro-9H-purines
 AU Kelley, James L.; Linn, James A.; Selway, J. W. T.
 CS Div. Org. Chem., Burroughs Wellcome Co., Research Triangle Park, NC,
 27709, USA
 SO Journal of Medicinal Chemistry (1990), 33(5), 1360-3
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 112:197954
 AB 6-Anilino-9-benzyl-2-chloropurines I [R = H, alkyl, alkoxy, alkylthio, (un)substituted amino, cyano, Br, CF₃, F, CO₂Et, SO₂Me, NO₂; R₁ = H; R = H, R₁ = Me] were prepd. and tested for antirhinovirus activity. Most of the compds. were prepd. by reaction of the aniline with 9-benzyl-2,6-dichloro-9H-purine. Structure-activity relationship studies revealed that compds. with small, lipophilic para substituents were good inhibitors of serotype 1B. Several compds. had good activity against four representative serotypes.
 IT 125802-54-2P 125827-88-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and virucidal activity of)
 RN 125802-54-2 CAPLUS
 CN Acetamide, N-[4-[(2-chloro-9-(phenylmethyl)-9H-purin-6-yl)amino]phenyl]- (9CI) (CA INDEX NAME)

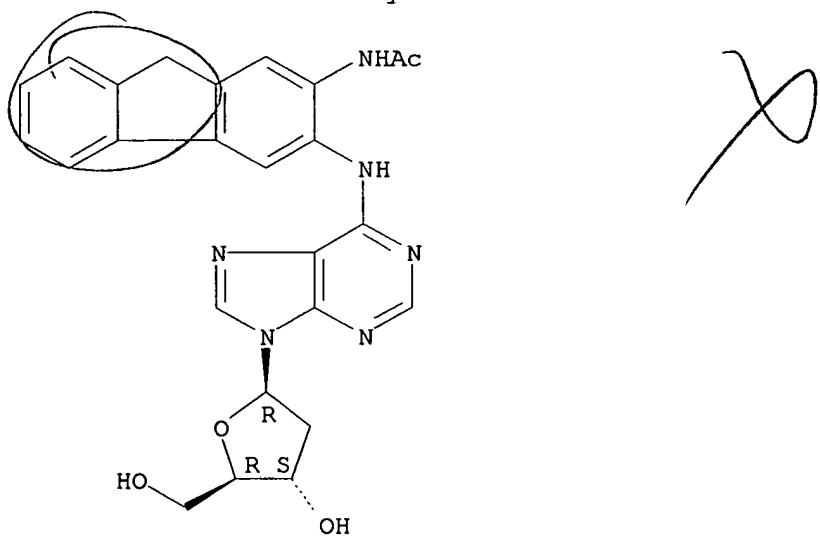


RN 125827-88-5 CAPLUS
 CN Acetamide, N-[4-[(2-chloro-9-(phenylmethyl)-9H-purin-6-yl)amino]phenyl]- (9CI) (CA INDEX NAME)



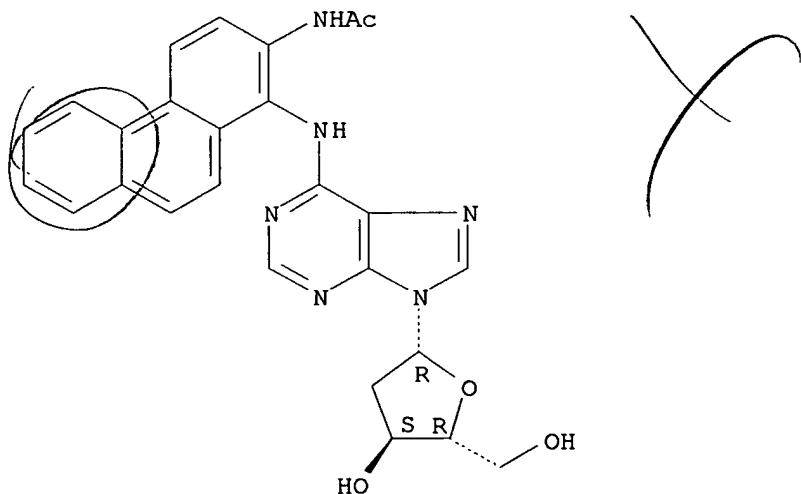
L8 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1983:465632 CAPLUS
 DN 99:65632
 TI The formation of acetylaminofluorene adducts in poly(dC-dG) and poly(dA-dT) on reaction with N-acetoxy-2-acetylaminofluorene and the effect of such modification upon the polymers as templates for DNA polymerases
 AU Saffhill, Roy; Abbott, Peter J.
 CS Paterson Lab., Christie Hosp Holt Radium Inst., Manchester, M20 9BX, UK
 SO Chemico-Biological Interactions (1983), 44(1-2), 95-110
 CODEN: CBINA8; ISSN: 0009-2797
 DT Journal
 LA English
 AB N-Acetoxy-2-acetylaminofluorene (AcO-AAF) [6098-44-8] reacts with the alternating DNA-like polynucleotides poly(dC-dC) [62081-33-8] and poly(dA-dT) [26966-61-0] in vitro to give adducts of the guanine and adenine bases similar to those reported to be formed in DNA. A previously unobsd. guanine adduct was detected in poly(dC-dC). Double-labeling studies of the poly(dC-dG) adduct showed that the 7- and 8-positions of guanine are not involved. Similarly, a thymine adduct of unknown structure was obsd. in poly(dA-dT). Modification of the polymers with AcO-AAF inhibits their capacity to act as templates for Escherichia coli DNA polymerase I [9012-90-2] and mammalian DNA polymerase .alpha., although the binding of the polymerases to the polynucleotides is unaffected. Such modification also leads to an increase in the levels of noncomplementary nucleotides incorporated into newly synthesized DNA.
 IT 86637-10-7
 RL: FORM (Formation, nonpreparative)
 (formation of, in acetoxyacetylaminofluorene reaction with DNA)
 RN 86637-10-7 CAPLUS
 CN Adenosine, N-[2-(acetylamino)-9H-fluoren-3-yl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



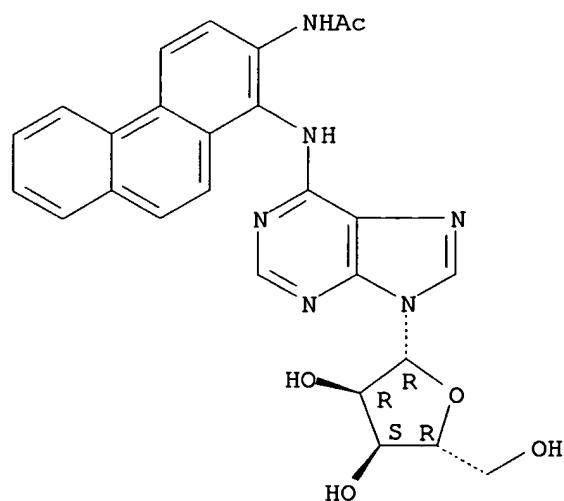
L8 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2003 ACS
 AN 1975:509570 CAPLUS
 DN 83:109570
 TI N-aryl-N-acetyl nitrenium ions in aromatic amine carcinogenesis. 3. Adducts between the carcinogen 2-acetamidophenanthrene and adenine and guanine of DNA
 AU Scribner, John D.; Naimy, Norma K.
 CS Fred Hutchinson Cancer Res. Cent., Seattle, WA, USA
 SO Cancer Research (1975), 35(6), 1416-21
 CODEN: CNREAA; ISSN: 0008-5472
 DT Journal
 LA English
 AB N-hydroxy-2-acetamidophenanthrene sulfate ester K salt (I) [41935-81-3] reacted with calf thymus DNA in vitro, and purifn. of the reaction products on Sephadex LH-20 gave 2 fractions which were identical with deoxyadenosineacetamidophenanthrene [56211-92-8] and deoxyguanosineacetamidophenanthrene [56211-93-9], resp. Reaction of I with guanosine [118-00-3], or adenine [73-24-5] gave the adducts, 8-(N-2-phenanthrylacetamido)guanosine (II) [56211-94-0], or N6-1-(2-acetamidophenanthryl)adenosine [56211-95-1], resp. These reactions together with Hueckel MO calcns. suggest that the relative tendencies of a series of N-aryl-N-acetyl nitrenium ions to react with guanosine and adenosine may be predicted.
 IT 56211-92-8
 RL: BIOL (Biological study)
 (acetamidophenanthrene-DNA interaction adduct)
 RN 56211-92-8 CAPLUS
 CN Adenosine, N-[2-(acetylamino)-1-phenanthrenyl]-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 56211-95-1
 RL: BIOL (Biological study)
 (acetamidophenanthrene-adenosine reaction adduct)
 RN 56211-95-1 CAPLUS
 CN Adenosine, N-[2-(acetylamino)-1-phenanthrenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/937,018 (G = N)

=> d his

(FILE 'HOME' ENTERED AT 11:32:14 ON 16 JAN 2003)

FILE 'REGISTRY' ENTERED AT 11:32:20 ON 16 JAN 2003
L1 STRUCTURE uploaded
L2 3 S L1 SSS SAM

FILE 'STNGUIDE' ENTERED AT 11:34:03 ON 16 JAN 2003

FILE 'REGISTRY' ENTERED AT 11:46:27 ON 16 JAN 2003

L3 738 S L1 SSS FUL
L4 STRUCTURE uploaded
L5 31 S L4 SSS SAM SUB=L3
L6 654 S L4 SSS FUL SUB=L3
L7 84 S L3 NOT L6

FILE 'CAPLUS' ENTERED AT 11:48:38 ON 16 JAN 2003

L8 21 S L7

FILE 'CAOLD' ENTERED AT 11:49:22 ON 16 JAN 2003

=> s 17
L9 0 L7

=> log y

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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STN INTERNATIONAL LOGOFF AT 11:49:40 ON 16 JAN 2003